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DICTIONARY FILE UPDATES: 2 AUG 2011 HIGHEST RN 1314638-23-7

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L1 STRUCTURE UPLOADED

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FULL SEARCH INITIATED 23:25:24 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 975 TO ITERATE
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100.0% PROCESSED 975 ITERATIONS  
SEARCH TIME: 00.00.01

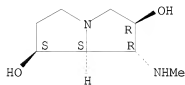
3 ANSWERS

L2 3 SEA \$\$\$ FUL L1

=> d l2

L2 ANSWER 1 OF 3 REGISTRY COPYRIGHT 2011 ACS on STN  
RN 1207673-00-4 REGISTRY  
ED Entered STN: 02 Mar 2010  
CN 1H-Pyrrolizine-1,6-diol, hexahydro-7-(methylamino)-, (1S,6R,7R,7aS)- (CA  
INDEX NAME)  
FS STEREOSEARCH  
MF C8 H16 N2 O2  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

4 REFERENCES IN FILE CA (1907 TO DATE)  
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file caplus  
COST IN U.S. DOLLARS  
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FILE COVERS 1907 - 3 Aug 2011 VOL 155 ISS 6  
FILE LAST UPDATED: 2 Aug 2011 (20110802/ED)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2011  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2011

CAPLUS now includes complete International Patent Classification (IPC) reclassification data for the first quarter of 2011.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12

L3 5 L2

=> d 13 1-5 ibib ab hitstr

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2010:565635 CAPLUS

DOCUMENT NUMBER: 152:541994

TITLE: Treatment of energy utilization diseases

INVENTOR(S): Wilson, Francis Xavier; Nash, Robert James; Horne, Graeme; Storer, Richard; Tinsley, Jonathan Mark; Roach, Alan Geoffrey

PATENT ASSIGNEE(S): Summit Corporation PLC, UK

SOURCE: PCT Int. Appl., 202 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2010049678	A2	20100506	WO 2009-GB2554	20091027
WO 2010049678	A3	20100826		
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
PRIORITY APPLN. INFO.:			GB 2008-19941	A 20081031
			GB 2009-6161	A 20090409
			GB 2009-8702	A 20090520
			GB 2009-14471	A 20090819

OTHER SOURCE(S): MARPAT 152:541994

AB Described are various compds., in particular iminosugars, for the treatment of energy utilization diseases, in particular diabetes (including type 1 diabetes, type 2 diabetes and insulin resistance) and metabolic syndrome (including any disease or disorder associated therewith, for example central obesity and elevated levels of triglycerides).

IT 1207673-00-4

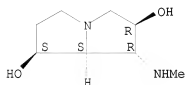
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(preparation of imino-sugars and C-glycosides for treatment of lysosomal storage disorders and other prostatic diseases)

RN 1207673-00-4 CAPLUS  
CN 1H-Pyrrolizine-1,6-diol, hexahydro-7-(methylamino)-, (1S,6R,7R,7aS)- (CA  
INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2010:331377 CAPLUS

DOCUMENT NUMBER: 152:351235

TITLE: Compounds, including alkaloids and iminosugars, for the treatment of flaviviral infections

INVENTOR(S): Wilson, Francis Xavier; Nash, Robert James; Horne, Graeme; Storer, Richard

PATENT ASSIGNEE(S): Summit Corporation Plc., UK; Tinsley, Jonathan Mark; Roach, Alan Geoffrey

SOURCE: PCI Int. Appl., 255pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2010029313	A1	20100318	WO 2009-GB2190	20090910
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
PRIORITY APPLN. INFO.:			GB 2008-16600	A 20080911
			GB 2008-16602	A 20080911
			GB 2008-19528	A 20081024
			GB 2008-19533	A 20081024
			GB 2009-6206	A 20090409
			GB 2009-6209	A 20090409
			GB 2009-8677	A 20090520
			GB 2009-8697	A 20090520
			GB 2009-14473	A 20090819
			GB 2009-14474	A 20090819

OTHER SOURCE(S): MARPAT 152:351235

AB Described are various compds. and methods for the treatment of infections.  
In particular, alkaloids and imino sugars with antiviral activity are

described, including those with activity against HCV and RSV. Described are various imino-sugars I, wherein, n is 1-7, provided that where n > 1 the ring may also contain at least one unsatd. C-C bond; z is 1 to (n+2); y is 1-2; R1 is H, alkyl, alkenyl, alkynyl, optionally substituted with one or more R2; O or an oxygen containing group such that the compound is an N-oxide; R2 is C(O)OR3; C(O)NR3R4; SO2NR3; OH, OR3, or formyl; R2 is OH; OR3; =O; NH2; N3; SH; SOxR3; halo; CN; NO2; NR3R4; (NR3)NR3R4; NH(NR3)NR3R4; CO2R4; (O)R3; CONR3R4; NR4C(O)R3; NR4SO2R3; P(O)(OR3)2; optionally substituted C1-15 alkyl, alkenyl, carbocyclyl, aryl, O-glycosyl; C-glycosyl; O-sulfate; O-phosphate or a group which together with the endo-cyclic-carbon forms a spiro ring; R3 is H; C1-6 alkyl, optionally substituted with one or more OH; aryl or C1-3 alkyl optionally substituted with aryl, silyl; R3 and R4 may optionally form a 4 to 8 membered ring, containing one or more O or NR3 groups; x is 0-2 and methods for the treatment of proteostatic diseases, in particular lysosomal storage disorders. Thus, imino-sugar II was prepared and used for treatment of lysosomal storage disorders and other proteostatic diseases. In particular, alkaloids and iminosugars in arabinose and/or lyxose stereochem. configuration with antflaviviral activity are described.

IT 1207673-00-4

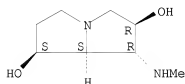
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(comps., including alkaloids and iminosugars, for treatment of flaviviral infections, and use with other agents)

RN 1207673-00-4 CAPLUS

CN 1H-Pyrrolizine-1,6-diol, hexahydro-7-(methylamino)-, (1S,6R,7R,7aS)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2010:187536 CAPLUS

DOCUMENT NUMBER: 152:255177

TITLE: Compounds, including alkaloids and iminosugars, for the treatment of flaviviral infections

INVENTOR(S): Wilson, Francis Xavier; Nash, Robert James; Horne, Graeme; Storer, Richard; Tinsley, Jonathan Mark; Roach, Alan Geoffrey

PATENT ASSIGNEE(S): Summit Corporation PLC, UK

SOURCE: PCT Int. Appl., 191 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2010015815	A2	20100211	WO 2009-GB1917	20090804
WO 2010015815	A3	20100826		

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AI, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

EP 2323651 A2 20110525 EP 2009-784865 20090804

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR, AL, BA, RS

PRIORITY APPLN. INFO.: GB 2008-14216 A 20080805  
GB 2008-17437 A 20080924  
GB 2008-19518 A 20081024  
GB 2009-6210 A 20090409  
GB 2009-8672 A 20090520  
WO 2009-GB1917 W 20090804

OTHER SOURCE(S): MARPAT 152:255177

AB Described are various imino-sugars I, wherein, n is 1-7, provided that where n > 1 the ring may also contain at least one unsatd. C-C bond; z is 1 to (n+2); y is 1-2; R1 is H, alkyl, alkenyl, alkynyl, optionally substituted with one or more R2; O or an oxygen containing group such that the compound is an N-oxide; R2 is C(O)OR3; C(O)NR3R4; SO2NR3; OH, OR3, or formyl; R2 is OH; OR3; =O; NH2; N3; SH; SOxR3; halo; CN; NO2; NR3R4; (NR3)NR3R4; NH(NR3)NR3R4; CO2R4; (O)R3; CONR3R4; NR4C(O)R3; NR4SO2R3; P(O)(OR3)2; optionally substituted C1-15 alkyl, alkenyl, carbocyclyl, aryl, O-glycosyl; C-glycosyl; O-sulfate; O-phosphate or a group which together with the endo-cyclic-carbon forms a spiro ring; R3 is H; C1-6 alkyl, optionally substituted with one or more OH; aryl or C1-3 alkyl optionally substituted with aryl, silyl; R3 and R4 may optionally form a 4 to 8 membered ring, containing one or more O or NR3 groups; x is 0-2 and methods for the treatment of proteostatic diseases, in particular lysosomal storage disorders. Thus, imino-sugar II was prepared and used for treatment of lysosomal storage disorders and other proteostatic diseases. In particular, alkaloids and iminosugars in arabinose and/or lyxose stereochem. configuration with antiviral activity are described.

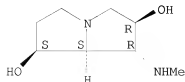
IT 1207673-00-4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(comps., including alkaloids and iminosugars, for treatment of flaviviral infections, and use with other agents)

RN 1207673-00-4 CAPLUS

CN 1H-Pyrrolizine-1,6-diol, hexahydro-7-(methylamino)-, (1S,6R,7R,7aS)- (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2010:179046 CAPLUS

DOCUMENT NUMBER: 152:255176

TITLE: Preparation of imino-sugars and C-glycosides for treatment of lysosomal storage disorders and other proteostatic diseases

INVENTOR(S): Wilson, Francis Xavier; Nash, Robert James; Horne, Graeme; Storer, Richard; Tinsley, Jonathon Mark; Roach, Alan Geoffrey

PATENT ASSIGNEE(S): Summit Corporation PLC, UK

SOURCE: PCT Int. Appl., 204 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2010015816	A2	20100211	WO 2009-GB1918	20090804
WO 2010015816	A3	20100826		
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, QA			
EP 2323652	A2	20110525	EP 2009-784866	20090804
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR, AL, BA, RS			

PRIORITY APPLN. INFO.: GB 2008-14322 A 20080806  
GB 2008-17446 A 20080924  
GB 2008-17859 A 20081001  
GB 2008-19523 A 20081024  
GB 2008-19543 A 20081024  
GB 2009-6175 A 20090409  
GB 2009-6179 A 20090409  
GB 2009-8661 A 20090520  
GB 2009-8666 A 20090520  
WO 2009-GB1918 W 20090804

OTHER SOURCE(S): CASREACT 152:255176; MARPAT 152:255176

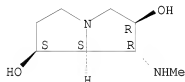
AB Described are various imino-sugars I, wherein, n is 1-7, provided that where n > 1 the ring may also contain at least one unsatd. C-C bond; z is 1 to (n+2); y is 1-2; R1 is H, alkyl, alkenyl, alkynyl, optionally substituted with one or more R2; O or an oxygen containing group such that the compound is an N-oxide; R2 is C(O)OR3; C(O)NR3R4; SO2NR3; OH, OR3, or formyl; R2 is OH; OR3; =O; NH2; N3; SH; SOxR3; halo; CN; NO2; NR3R4; (NR3)NR3R4; NH(NR3)NR3R4; CO2R4; (O)R3; CONR3R4; NR4C(O)R3; NR4SO2R3; P(O)(OR3)2; optionally substituted C1-15 alkyl, alkenyl, carbocyclyl, aryl, O-glycosyl; C-glycosyl; O-sulfate; O-phosphate or a group which together with the endo-cyclic-carbon forms a spiro ring; R3 is H; C1-6 alkyl, optionally substituted with one or more OH; aryl or C1-3 alkyl optionally substituted with aryl, silyl; R3 and R4 may optionally form a 4 to 8 membered ring, containing one or more O or NR3 groups; x is 0-2 and



methods for the treatment of proteostatic diseases, in particular lysosomal storage disorders. Thus, imino-sugar II was prepared and used for treatment of lysosomal storage disorders and other proteostatic diseases. The compound may be a pharmacoperone of an enzyme selected from: (a) acid  $\alpha$ -glucosidase; (b) acid- $\beta$ -glucosidase; (c) glucocerebrosidase; (d)  $\alpha$ -Galactosidase A; (e) acid- $\beta$ -galactosidase; (f)  $\beta$ -hexosaminidase A; (g)  $\beta$ -hexosaminidase B; (h) acid sphingomyelinase; (i) galactocerebrosidase; (j) acid ceramidase; (k) arylsulfatase A; (l)  $\alpha$ -L-iduronidase; (m) iduronate-2-sulfatase; (n) heparan N-sulfatase; (o)  $\alpha$ -N-acetylglucosaminidase; (p) acetyl-CoA:  $\alpha$ -glucosaminide N-acetyltransferase; (q) N-acetylglucosamine-6-sulfate sulfatase; (r) N-acetylgalactosamine-6-sulfate sulfatase; (s) acid- $\beta$ -galactosidase; (t) arylsulfatase B; (u)  $\beta$ -glucuronidase; (v) acid  $\alpha$ -mannosidase; (w) acid- $\beta$ -mannosidase; (x) acid  $\alpha$ -L-fucosidase; (y) sialidase; and (z)  $\alpha$ -N-acetylgalactosaminidase.

IT 1207673-00-4  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (preparation of imino-sugars and C-glycosides for treatment of lysosomal storage disorders and other proteostatic diseases)  
 RN 1207673-00-4 CAPLUS  
 CN 1H-Pyrrolizine-1,6-diol, hexahydro-7-(methylamino)-, (1S,6R,7R,7aS)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L3 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2011 ACS on SIN

ACCESSION NUMBER: 2005:451185 CAPLUS  
 DOCUMENT NUMBER: 142:487686  
 TITLE: Antibacterial compositions comprising (alkyl)aminopyrrolizidine compounds  
 INVENTOR(S): Nash, Robert James; Wolferstan, Paul; Fleet, George  
 William John; Van Ameijde, Jeroen; Horne, Graeme  
 PATENT ASSIGNEE(S): Molecularnature Limited, UK; M N L Pharma Limited  
 SOURCE: PCT Int. Appl., 24 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005046674	A2	20050526	WO 2004-GB4624	20041103
WO 2005046674	A3	20050714		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,

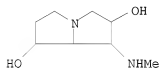
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
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 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO,  
 SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,  
 NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2003-25655 A 20031104  
 OTHER SOURCE(S): MARPAT 142:487686

AB Antibacterial (alkyl)aminopyrrolizidine compds. for use in therapy or prophylaxis may be pharmaceutically acceptable derivs. of loline. Examples include 2,7-dihydroxy-1-methylaminopyrrolizidine, 2,7-dihydroxy-1-aminopyrrolizidine, 2-hydroxy-1-aminopyrrolizidine, 2-hydroxy-1-methylaminopyrrolizidine, 7-hydroxy-1-aminopyrrolizidine, 7-hydroxy-1-methylaminopyrrolizidine, 1 $\alpha$ -methylamino-2 $\beta$ -hydroxypyrrolizidine, 1 $\alpha$ -methylamino-7 $\beta$ -hydroxypyrrolizidine, 1 $\alpha$ -amino-2 $\beta$ -hydroxypyrrolizidine, 1 $\alpha$ -amino-7 $\beta$ -hydroxypyrrolizidine, 1 $\alpha$ -amino-2,7 $\beta$ -hydroxypyrrolizidine and 1 $\alpha$ -methylamino-2,7 $\beta$ -hydroxypyrrolizidine. The compds. may be used to treat infection with *Staphylococcus aureus* (MRSA), including C-MRSA1, C-MRSA2, C-MRSA3, C-MRSA4, Belgian MRSA, Swiss MRSA and any of the EMRSA strains. For example, meadow brown butterflies have activity against *Staphylococcus aureus* (MRSA) and a 50% ethanol extract of these butterflies contains the activity. Furthermore, the activity was retained by a strongly acidic cation exchange resin. The material not bound to the resin was inactive but the material displaced by 2 M ammonia solution had activity. This ammonia fraction contained various open-furan ring lolines (as determined by mass spectroscopy). Also, a semisynthetic reaction mixture derived from loline was tested for activity by incubation for 12 to 24 h at 37° at various concns. with a suspension of 1x10<sup>3</sup> c.f.u. of *Staphylococcus aureus*. After incubation, test samples were plated onto solid agar plates and colonies counted after incubation at 37° for 24 h. Complete bacterial killing was observed

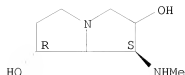
IT 852200-80-7 852200-91-0  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (antimicrobial compns. comprising (alkyl)aminopyrrolizidine compds. and loline derivs.)

RN 852200-80-7 CAPLUS  
 CN 1H-Pyrrolizine-1,6-diol, hexahydro-7-(methylamino)- (CA INDEX NAME)



RN 852200-91-0 CAPLUS  
 CN 1H-Pyrrolizine-1,6-diol, hexahydro-7-(methylamino)-, (1R,7S)-rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)  
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
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FULL ESTIMATED COST	33.96	234.40
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
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=>

Uploading C:\Users\srao3\Documents\STN Express 8.4\Queries\10578053 str 2.str

L4 STRUCTURE UPLOADED

=> s l4 sss full

FULL SEARCH INITIATED 23:31:08 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 42612 TO ITERATE

100.0% PROCESSED 42612 ITERATIONS  
SEARCH TIME: 00.00.01

58 ANSWERS

L5 58 SEA SSS FUL L4

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ENTRY	SESSION
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FULL ESTIMATED COST

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ENTRY	SESSION
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FILE 'CAPLUS' ENTERED AT 23:31:14 ON 03 AUG 2011  
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FILE COVERS 1907 - 3 Aug 2011 VOL 155 ISS 6  
FILE LAST UPDATED: 2 Aug 2011 (20110802/ED)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2011  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2011

Caplus now includes complete International Patent Classification (IPC) reclassification data for the first quarter of 2011.

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REGISTRY INITIATED  
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SAMPLE SCREEN SEARCH COMPLETED - 2159 TO ITERATE

100.0% PROCESSED 2159 ITERATIONS 6 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 40393 TO 45967  
PROJECTED ANSWERS: 6 TO 266

L6 6 SEA SSS SAM L4

L7 4 L6

=> file caplus  
COST IN U.S. DOLLARS  
FULL ESTIMATED COST

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ENTRY	SESSION
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)  
CA SUBSCRIBER PRICE

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ENTRY	SESSION
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FILE COVERS 1907 - 3 Aug 2011 VOL 155 ISS 6  
FILE LAST UPDATED: 2 Aug 2011 (20110802/ED)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2011  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2011

Caplus now includes complete International Patent Classification (IPC) reclassification data for the first quarter of 2011.

CAS Information Use Policies apply and are available at:

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 15

L8 46 L5

=> s 18 and py<2006

26345774 PY<2006

L9 36 L8 AND PY<2006

=> s 19 and py<2005

25162844 PY<2005

L10 34 L9 AND PY<2005

=> s 110 and py<2003

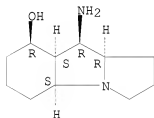
23001515 PY<2003

L11 33 L10 AND PY<2003

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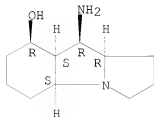
L11 ANSWER 1 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN  
ACCESSION NUMBER: 2002:537298 CAPLUS  
DOCUMENT NUMBER: 137:384712  
TITLE: A valuable approach to enantiopure partially saturated pyrrolo- and indolo[1,2-a]indoles by intramolecular nitronc cycloadditions to the cyclohexene ring  
AUTHOR(S): Beccalli, Egle M.; Broggini, Gianluigi; Farina, Alessandra; Malpezzi, Luciana; Terraneo, Alberto; Zecchi, Gaetano  
CORPORATE SOURCE: Istituto di Chimica Organica della Facolta di Farmacia dell'Universita di Milano, Milan, 20133, Italy  
SOURCE: European Journal of Organic Chemistry (2002), (13), 2080-2086  
CODEN: EJOCFK; ISSN: 1434-193X  
PUBLISHER: Wiley-VCH Verlag GmbH  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 137:384712  
AB Enantiopure representatives of the title heterocyclic systems, e.g. I, which are of interest in alkaloid chemical, are accessible by a procedure based upon intramol. cycloaddns. of nitrones derived from N-(cyclohex-2-enyl)-substituted pyrrole-2- and indole-2-carbaldehyde, followed by reductive manipulation of the cycloadducts.  
IT 475985-01-4P 475985-13-8P 475985-16-1P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(approach to enantiopure partially saturated pyrrolo- and indolo[1,2-a]indoles by intramol. nitronc cycloaddns. to the cyclohexene ring)  
RN 475985-01-4 CAPLUS  
CN 1H-Pyrrolo[1,2-a]indol-8-ol, 9-aminodecahydro-, (4aR,8S,8aR,9S,9aS)-rel- (CA INDEX NAME)

Relative stereochemistry.



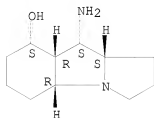
RN 475985-13-8 CAPLUS  
CN 1H-Pyrrolo[1,2-a]indol-8-ol, 9-aminodecahydro-, (4aS,8R,8aS,9R,9aR)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 475985-16-1 CAPLUS  
CN 1H-Pyrrolo[1,2-a]indol-8-ol, 9-aminodecahydro-, (4aR,8S,8aR,9S,9aS)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)  
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2001:694087 CAPLUS

DOCUMENT NUMBER: 136:102311

TITLE: Regiochemical aspects of intramolecular cycloadditions of nitrones derived from N-(2-alkenyl)-2-pyrrolicarbaldehydes. Competitive entries to pyrrolizidine and indolizidine derivatives  
Broggini, G.; La Rosa, C.; Pilati, T.; Terraneo, A.; Zecchi, G.

AUTHOR(S):  
CORPORATE SOURCE: Dipartimento di Scienze Chimiche, Fisiche e Matematiche, Università dell'Insubria, Como, 22100, Italy

SOURCE: Tetrahedron (2001), 57(39), 8323-8332  
CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:102311

AB Intramol. cycloaddns. of unsatd. nitrones derived from a series of N-(2-alkenyl)-2-pyrrolicarbaldehydes I (R = H, Bu, R1 = H, Me, R2 = H, Pr, Ph, Me) have been systematically studied. A pronounced substituent effect has been observed as far as the competitive formation of fused- and bridged-ring regioisomers, e.g. II, are concerned. Further elaboration of the two kinds of cycloadducts, via hydrogenation, has given pyrrolizidine and indolizidine derivs., resp., e.g. III. The absolute configuration was assigned by NMR and x-ray anal.

IT 389621-09-4P 389621-10-7P 389621-32-3P  
389621-33-4P 389621-34-5P 389621-35-6P  
389621-36-7P 389621-37-8P

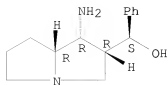
RL: SPN (Synthetic preparation); PREP (Preparation)

(regiochem. in cycloaddn. of alkenylpyrrolicarbaldehyde nitrones to fused and bridged-ring isoxazoles and preparation of pyrrolizidines and indolizidines)

RN 389621-09-4 CAPLUS

CN 1H-Pyrrolizine-2-methanol, 1-aminoheptahydro- $\alpha$ -phenyl-, (aS,1R,2R,7aR)- (CA INDEX NAME)

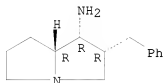
Absolute stereochemistry.



RN 389621-10-7 CAPLUS

CN 1H-Pyrrolizine-1-amine, hexahydro-2-(phenylmethyl)-, (1R,2R,7aR)- (CA INDEX NAME)

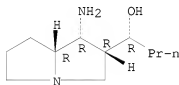
Absolute stereochemistry.



RN 389621-32-3 CAPLUS

CN 1H-Pyrrolizine-2-methanol, 1-aminohexahydro-α-propyl-, (αR,1R,2R,7aR)-rel- (CA INDEX NAME)

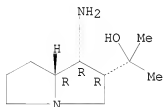
Relative stereochemistry.



RN 389621-33-4 CAPLUS

CN 1H-Pyrrolizine-2-methanol, 1-aminohexahydro-α,α-dimethyl-, (1R,2R,7aR)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 389621-34-5 CAPLUS

CN 1H-Pyrrolizine-1-amine, hexahydro-2-(1-methylethyl)-, (1R,2R,7aR)- (CA INDEX NAME)

Absolute stereochemistry.

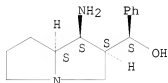




RN 389621-35-6 CAPLUS

CN 1H-Pyrrolizine-2-methanol, 1-amino-1-phenyl-,  
(1S,2S,7aS)- (CA INDEX NAME)

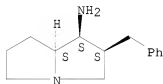
Absolute stereochemistry. Rotation (-).



RN 389621-36-7 CAPLUS

CN 1H-Pyrrolizine-1-amine, hexahydro-2-(phenylmethyl)-, (1S,2S,7aS)- (CA  
INDEX NAME)

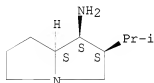
Absolute stereochemistry. Rotation (-).



RN 389621-37-8 CAPLUS

CN 1H-Pyrrolizine-1-amine, hexahydro-2-(1-methylethyl)-, (1S,2S,7aS)- (CA  
INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT:	14	THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)
REFERENCE COUNT:	40	THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2001:541397 CAPLUS

DOCUMENT NUMBER: 135:344623

TITLE: Asymmetric synthesis of (+)-loline, a pyrrolizidine  
alkaloid from rye grass and tall fescue

AUTHOR(S): Blakemore, Paul R.; Kim, Sung-Kee; Schulze, Volker K.;

CORPORATE SOURCE: White, James D.; Yokochi, Alexandre F. T.  
Department of Chemistry, Oregon State University,  
Corvallis, OR, 97331-4003, USA

SOURCE: Journal of the Chemical Society, Perkin Transactions 1  
(2001), (15), 1831-1847  
CODEN: JCSPCE; ISSN: 1472-7781

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

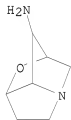
OTHER SOURCE(S): CASREACT 135:344623

AB (+)-Loline (I) was synthesized via a pathway that employed intramol. [4 + 2] cycloaddn. of an acylnitrosodiene, (S,E)-H2C:CHCH:CHCH(OR1)CH2CON:O (R1 = SiMe2CMe3, CH2C6H4OMe-4), as a key step. The acylnitrosodienes, which were used in situ, were obtained by oxidation of the corresponding hydroxamic acids, (S,E)-H2C:CHCH:CHCH(OR2)CH2CONHOH (R2 = SiMe2CMe3, CH2C6H4OMe-4), and these were prepared from either glucose via aldehyde II or more directly from (S)-malic acid. The endo dihydrooxazines III (R3 = SiMe2CMe3, CH2C6H4OMe-4), obtained in a mixture with their exo stereoisomer, were transformed by reductive N-O bond cleavage and reannulation into pyrrolizines IV (R4 = SiMe2CMe3, CH2C6H4OMe-4). The latter was subjected to Sharpless aminohydroxylation in the presence of (DHQD)2PHAL to give V (R5 = R6 = H) along with its regioisomer. N-Methylation of tosyl amide V (R5 = R6 = H), followed by mesylation of alc. V (R5 = H; R6 = Me) and reduction of the  $\gamma$ -lactam V (R5 = SO2Me; R6 = Me) with borane, afforded pyrrolizidine VI (R7 = CH2C6H4OMe-4). Cleavage of the p-methoxybenzyl ether and subsequent thermal treatment of VI (R7 = H) resulted in intramol. etherification to yield N-tosylloline (VII). Final reductive cleavage of the N-tosyl residue produced (+)-loline (I), characterized as its dihydrochloride.

IT 4839-19-4P, Norloline  
RL: PNU (Preparation, unclassified); PREP (Preparation)  
(asym. synthesis of (+)-loline from malic acid or glucose via an intramol. [4 + 2] cycloaddn. of an acylnitrosodiene)

RN 4839-19-4 CAPLUS

CN 2,4-Methano-4H-furo[3,2-b]pyrrol-3-amine, hexahydro-, (2R,3R,3aS,4S,6aS)-(9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (23 CITINGS)

REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2000:232205 CAPLUS

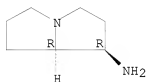
DOCUMENT NUMBER: 133:17672

TITLE: Synthesis of the natural 1-amidopyrrolizidines  
absoulone and laburnamine, and pyrrolidinimidazole  
derivatives and analogs

AUTHOR(S): Christine, Caline; Ikhiri, Khalid; Ahond, Alain;  
Mourabit, Ali Al; Poupat, Christiane; Potier, Pierre

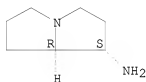
CORPORATE SOURCE: Institut de Chimie des Substances Naturelles du CNRS,  
Gif-sur-Yvette, 91198, Fr.  
SOURCE: Tetrahedron (2000), 56(13), 1837-1850  
CODEN: TETRAB; ISSN: 0040-4020  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: French  
OTHER SOURCE(S): CASREACT 133:17672  
AB Natural 1-amidopyrrolizidines, absoulone and laburnamine, were synthesized  
via stable pyrrolizidin-1-one hydrobromide. Amides, ester derivs. and  
aminopyrrolidinoimidazole analogs, e.g.I, were also prepared and their  
cytotoxic and antiviral biol. activities tested.  
IT 141197-03-7P 145511-58-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(synthesis of natural 1-amidopyrrolizidines absoulone and laburnamine,  
and pyrrolidinoimidazolic derivs. and analogs)  
RN 141197-03-7 CAPLUS  
CN 1H-Pyrrolizin-1-amine, hexahydro-, (1R,7aR)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 145511-58-6 CAPLUS  
CN 1H-Pyrrolizin-1-amine, hexahydro-, (1R,7aS)-rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS  
RECORD (12 CITINGS)  
REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN  
ACCESSION NUMBER: 1996:521770 CAPLUS  
DOCUMENT NUMBER: 125:248186  
ORIGINAL REFERENCE NO.: 125:46413a,46416a  
TITLE: A concise route to pyrrolizidine alkaloids bearing the  
1,2-amino alcohol functionality  
AUTHOR(S): Palomo, Claudio; Aizpurua, Jesus M.; Cuevas, Carmen;  
Roman, Pascual; Luque, Antonio; Martinez-Ripoll,  
Martin  
CORPORATE SOURCE: Facultad de Quimica, Universidad del Pais Vasco, San  
Sebastian, E-20080, Spain  
SOURCE: Anales de Quimica International Edition (1996),  
92(3), 134-135

CODEN: AQIEFZ  
 PUBLISHER: Springer  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 125:248186

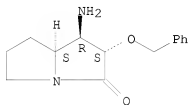
AB 1-Amino-2-hydroxy-pyrrolizidine and 1-amino-pyrrolizidine alkaloid precursors were prepared by a highly diastereoselective [2+2] cycloaddn. of alkoxyketenes to N-BOC-prolinal imines as the key reaction. Imines I (R = 4-MeOC6H4, PhCH2) were cyclized with R1OCH2COC1 (R1 = Me, PhCH2) to form  $\beta$ -lactams (II).  $\beta$ -Lactam II (R = 4-MeOC6H4, R1 = PhCH2) was further cyclized and transformed to pyrrolizidine (III; R3 = PhCH2NH, R4 = PhCH2O, X = H2) via a series of steps.  $\beta$ -Lactam II (R = R1 = PhCH2) underwent deoxygenation and intramol. rearrangement to form pyrrolizidine III (R3 = PhCH2NH, R4 = H, X = O).

IT 181827-73-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (synthetic route to 1-amino-2-hydroxypyrrolizidine alkaloids)

RN 181827-73-6 CAPLUS

CN 3H-Pyrrolizidin-3-one, 1-amino-2-hydroxy-2-(phenylmethoxy)-, [1R-(1 $\alpha$ ,2 $\beta$ ,7 $\alpha$ )]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L11 ANSWER 6 OF 33 CAPLUS COPYRIGHT 2011 ACS ON STN

ACCESSION NUMBER: 1996:355004 CAPLUS

DOCUMENT NUMBER: 125:167721

ORIGINAL REFERENCE NO.: 125:31425a,31428a

TITLE: An asymmetric approach to pyrrolidinone and pyrrolizidinone systems by intramolecular oxime-olefin cycloaddition

AUTHOR(S): Chiacchio, Ugo; Corsaro, Antonino; Pistara, Venerando; Rescifina, Antonio; Romeo, Giovanni; Romeo, Roberto

CORPORATE SOURCE: Dip. Sci. Chim. Univ., Catania, 95125, Italy

SOURCE: Tetrahedron (1996), 52(23), 7875-7884

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

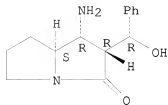
OTHER SOURCE(S): CASREACT 125:167721

AB Homochiral functionalized pyrrolidinone and pyrrolizidinone systems I [R1 = R2 = Me; R1 = CH2Ph, R2 = Me; R1R2 = (CH2)3] and II (R = Me, Et, Ph) have been achieved by stereoselective intramol. oxime-olefin cycloaddn. starting from homochiral amino acids, and by subsequent reduction of the obtained fused isoxazolidines III and IV, resp.

IT 180036-57-1P 180036-66-2P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (asym. synthesis of pyrrolidinones and pyrrolizidinones via intramol. oxime-olefin cycloaddn.)

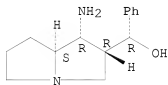
RN 180036-57-1 CAPLUS  
CN 3H-Pyrrolizin-3-one, 1-aminohexahydro-2-(hydroxyphenylmethyl)-,  
[1R-[1 $\alpha$ ,2 $\alpha$ (R\*),7 $\alpha$ ]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 180036-66-2 CAPLUS  
CN 1H-Pyrrolizine-2-methanol, 1-aminohexahydro- $\alpha$ -phenyl-,  
[1R-[1 $\alpha$ ,2 $\alpha$ (R\*),7 $\alpha$ ]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



OS.CITING REF COUNT: 37 THERE ARE 37 CAPLUS RECORDS THAT CITE THIS  
RECORD (37 CITINGS)

L11 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN

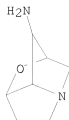
ACCESSION NUMBER: 1996:112166 CAPLUS  
DOCUMENT NUMBER: 124:170620  
ORIGINAL REFERENCE NO.: 124:31551a,31554a  
TITLE: Alkaloids of Adenocarpus complicatus (L.) Gay  
AUTHOR(S): Tosun, Fatma; Greinwald, Roland; Aydinlioglu, Ash  
CORPORATE SOURCE: Fac. Pharmacy, Gazi Univ., Ankara, 06330, Turk.  
SOURCE: Hacettepe Universitesi Eczacilik Fakultesi Dergisi  
(1995), 15(1), 1-4  
CODEN: HUEDEE; ISSN: 1300-0608  
Hacettepe Universitesi Eczacilik Fakultesi Dekanligi  
PUBLISHER: Hacettepe Universitesi Eczacilik Fakultesi Dekanligi  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB Alkaloid exts. obtained from different organs of A. complicatus were  
analyzed by capillary GC. Pyrrolizidine and bipiperidyl alkaloids were  
identified. No quinolizidine alkaloids and pyrrolizidine N-oxides could  
be detected in the exts.

IT 4839-19-4P, Norloline  
RL: ANT (Analyte); BOC (Biological occurrence); BSU (Biological study,  
unclassified); PRP (Properties); PUR (Purification or recovery); ANST  
(Analytical study); BIOL (Biological study); OCCU (Occurrence); PREP  
(Preparation)  
(alkaloids of Adenocarpus complicatus)

RN 4839-19-4 CAPLUS

CN 2,4-Methano-4H-furo[3,2-b]pyrrol-3-amine, hexahydro-, (2R,3R,3aS,4S,6aS)-  
(9CI) (CA INDEX NAME)



L11 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1995:928200 CAPLUS

DOCUMENT NUMBER: 124:88139

ORIGINAL REFERENCE NO.: 124:16570h,16571a

TITLE: Hydroxy and amino functional pyrrolizidine catalyst compositions for the production of polyurethanes.

INVENTOR(S): Savoca, Ann Coates Lescher; Wressell, Amy Lynne; Listemann, Mark Leo; Carr, Richard Van Court; Mercando, Lisa Ann; Lassila, Kevin Rodney; Minnich, Kristen Elaine

PATENT ASSIGNEE(S): Air Products and Chemicals, Inc., USA

SOURCE: Eur. Pat. Appl., 13 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 668303	A1	19950823	EP 1995-102351	19950220 <--
EP 668303	B1	20000823		
R: BE, DE, FR, GB, IT, NL				
US 5512603	A	19960430	US 1994-199396	19940222 <--
CA 2142584	A1	19950823	CA 1995-2142584	19950215 <--
BR 9500675	A	19951031	BR 1995-675	19950217 <--
KR 150871	B1	19981015	KR 1995-3206	19950220 <--
JP 07258365	A	19951009	JP 1995-31961	19950221 <--
JP 2974273	B2	19991110		
CN 1119194	A	19960327	CN 1995-102159	19950221 <--
CN 1048736	C	20000126		

PRIORITY APPLN. INFO.: US 1994-199396 A 19940222

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 124:88139

AB Polyurethane foams are prepared by reacting an organic polyisocyanate and a polyol in the presence of a blowing agent, cell stabilizer and a catalyst composition consisting essentially of a pyrrolizidine (I), where R1 and R2 independently = H, OH, or NR4R5; R3 = H, a C1-C12 alkyl, C5-C6 cycloalkyl, C6-C10 aryl, or C7-C11 arylalkyl group; and R4 and R5 independently = H, a C1-12 alkyl group, C5-C10 cycloalkyl, C6-C10 aryl, or C7-C11 arylalkyl group, provided that at least R1 or R2 is not H. Catalysts containing HOCH2 or iso-PrNHCH2 groups were about twice as active as triethylenediamine in the polymerization of a monomer mixture containing E 648 polyol, E 519 polyol, and TDI.

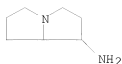
IT 170442-12-3

RL: CAT (Catalyst use); USES (Uses)

(hydroxy and amino functional pyrrolizidine catalyst compns. for production of polyurethanes)

RN 170442-12-3 CAPLUS

CN 1H-Pyrrolizin-1-amine, hexahydro- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

L11 ANSWER 9 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1995:759110 CAPLUS

DOCUMENT NUMBER: 124:8812

ORIGINAL REFERENCE NO.: 124:1865a,1868a

TITLE: Azabicyclo imidazopyridines as serotonergic 5-HT3 antagonists

INVENTOR(S): Becker, Daniel P.; Flynn, Daniel L.; Moormann, Alan E.; Nosal, Roger; Villamil, Clara I.

PATENT ASSIGNEE(S): G. D. Searle and Co., USA

SOURCE: U.S., 19 pp. Cont.-in-part of U.S. 5,260,303.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5434161	A	19950718	US 1992-973126	19921106 <--
US 5260303	A	19931109	US 1991-666113	19910307 <--
CA 2082414	A1	19920908	CA 1992-2082414	19920304 <--
US 5604239	A	19970218	US 1995-424732	19950418 <--
US 5591749	A	19970107	US 1995-424934	19950419 <--
PRIORITY APPLN. INFO.:			US 1991-666113	A2 19910307
			US 1992-973126	A3 19921106

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 124:8812

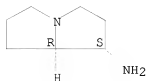
AB The imidazopyridines compds. of the present invention [I, the stereoisomers and pharmaceutically acceptable salts thereof, wherein R1 is H or Cl-6 alkyl and R2 is H or halogen; Y represents NH or O; and wherein k is 1, l is 1, j is 0 to 4 and one of R'3 and R'4 is H, Cl-6 alkyl, Ph or phenyl-Cl-3 alkyl, which Ph moieties may be optionally substituted by Cl-6 alkyl, Cl-6 alkoxy, CF3 or halogen and the other of R'3 and R'4 is H or Cl-6 alkyl] are serotonergic 5-HT3 antagonists. As such they are useful for the treatment of humans and animals wherein antagonism of 5-HT3 receptors is beneficial. Therapy is indicated for, but not limited to, the treatment of anxiety, psychoses, depression (especially depression accompanied by anxiety), cognitive disorders, substance abuse dependence and withdrawal, gastrointestinal motility disturbances (including esophageal reflux, dyspepsia, gastric stasis, irritable bowel syndrome), emesis caused by chemotherapeutic agents, and visceral pain. Addnl., the compds. of the present invention may find utility as enhancers of nasal absorption of bioactive compds. Thus, e.g., amidation of 6-chloroimidazo[1,2-a]pyridine-8-carboxylic acid, monohydrochloride (preparation given) with endo-4-amino-1-azabicyclo[3.3.1]nonane afforded title compound II.2HCl which exhibited 87% inhibition of Bezold Jarisch reflex in mice at 10 mg/kg i.p.

IT 145511-58-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(azabicyclo imidazopyridines as serotonergic 5-HT3 antagonists)  
 RN 145511-58-6 CAPLUS  
 CN 1H-Pyrrolizin-1-amine, hexahydro-, (1R,7aS)-rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD  
 (6 CITINGS)  
 REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 1995:274875 CAPLUS  
 DOCUMENT NUMBER: 122:56041  
 ORIGINAL REFERENCE NO.: 122:10863a,10866a  
 TITLE: Preparation of benzimidazolecarboxamide compounds as  
 serotonergic agents  
 INVENTOR(S): Flynn, Daniel Lee; Moormann, Alan Edward; Becker,  
 Daniel Paul; Dappen, Michael Scott; Nosal, Roger;  
 Shone, Robert L.; Villamil, Clara I.  
 PATENT ASSIGNEE(S): G.D. Searle and Co., USA  
 SOURCE: PCT Int. Appl., 136 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9400454	A1	19940106	WO 1993-US5862	19930623 <--
W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5280028	A	19940118	US 1992-903835	19920624 <--
AU 9345407	A	19940124	AU 1993-45407	19930623 <--
US 5534521	A	19960709	US 1994-325303	19941108 <--
US 5521193	A	19960528	US 1995-445057	19950519 <--
PRIORITY APPLN. INFO.:			US 1992-903835	A2 19920624
			WO 1993-US5862	A 19930623
			US 1994-325303	A3 19941108

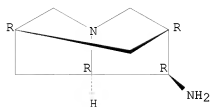
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 122:56041

AB This invention relates to compds. useful in treating HT4 and/or HT3-mediated conditions of formula I (R1, R2 = H, alkoxy, halo, amino, etc.; R3 = H, alkyl and cycloalkyl; X = NH or O; Z = heterocyclic group). I are disclosed for treating serotonin-mediated conditions using compns. which act as 5-HT4, agonist or antagonists and/or 5-HT3 antagonists. An example compound, N-[(hexahydro-2 $\beta$ ,6 $\beta$ -methano-7 $\alpha$ -pyrrolizin-1 $\alpha$ -yl)methyl]benzimidazolecarboxamide II was prepared. The activity of II as 5-HT4 agonist was tested in vitro on rat esophagi (EC50 = 219 nM;



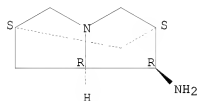
serotonin EC50 = 9 nM).  
 IT 159996-23-3P 160080-12-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as intermediate for oxobenzimidazolecarboxamide  
 serotoninergic)  
 RN 159996-23-3 CAPLUS  
 CN 2,6-Methano-1H-pyrrolizin-1-amine, hexahydro-,  
 [1R-(1 $\alpha$ ,2 $\alpha$ ,6 $\alpha$ ,7 $\alpha$ )]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160080-12-6 CAPLUS  
 CN 2,6-Methano-1H-pyrrolizin-1-amine, hexahydro-, (1R,2S,6S,7aR)-rel- (CA  
 INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD  
 (7 CITINGS)  
 REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 11 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1993:666695 CAPLUS

DOCUMENT NUMBER: 119:266695

ORIGINAL REFERENCE NO.: 119:47621a,47624a

TITLE: Analyses of selected endophyte-infected grasses for  
 the presence of loline-type and ergot-type alkaloids  
 TePaske, Mark R.; Powell, Richard G.; Clement, Stephen  
 L.

CORPORATE SOURCE: Agric. Res. Serv., Natl. Cent. Agric. Util. Res.,  
 Peoria, IL, 61604, USA

SOURCE: Journal of Agricultural and Food Chemistry (1993),  
 41(12), 2299-303

CODEN: JAFCAU; ISSN: 0021-8561

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Selected endophyte-free and endophyte-infected grasses from the genera  
 Festuca, Lolium, Hordeum, Stipa, and Poa were analyzed for the presence of  
 loline- and ergot-type alkaloids. Loline alkaloids were analyzed by  
 capillary GC, and ergot-type alkaloids were analyzed by reversed-phase  
 HPLC. None of the endophyte-free samples contained detectable levels of  
 either of these alkaloid types. Endophyte-infected grass samples gave

widely variable alkaloid concns. N-Formylloline was the predominant loline alkaloid, and ergovaline was usually the predominant ergot-type alkaloid in these samples.

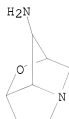
IT 4839-19-4, Norloline

RL: BIOL (Biological study)

(in Acremonium-infected grasses)

RN 4839-19-4 CAPLUS

CN 2,4-Methano-4H-furo[3,2-b]pyrrol-3-amine, hexahydro-, (2R,3R,3aS,4S,6aS)-(9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 27 THERE ARE 27 CAPLUS RECORDS THAT CITE THIS RECORD (27 CITINGS)

L11 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1993:409018 CAPLUS

DOCUMENT NUMBER: 119:9018

ORIGINAL REFERENCE NO.: 119:1857a,1860a

TITLE: Synthetic methods. 40. A synthesis of (-)-supinidine and its regioisomer by intramolecular oxime olefin cycloaddition

AUTHOR(S): Hassner, Alfred; Singh, Suddham; Sharma, Raman; Maurya, Rakesh

CORPORATE SOURCE: Dep. Chem., Bar-Ilan Univ., Ramat-Gan, 52900, Israel

SOURCE: Tetrahedron (1993), 49(11), 2317-24

CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 119:9018

AB A synthesis of (-)-supinidine I and its regioisomer from L-proline is described. The key step is a thermal intramol. oxime-olefin cycloaddn. of pyrrolidine II; dimerization products resulting from intramol. nitron formation were also isolated.

IT 147919-18-4P

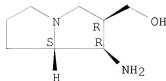
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and diazotization-elimination reaction of)

RN 147919-18-4 CAPLUS

CN 1H-Pyrrolizine-2-methanol, 1-aminohexahydro-, [1R-(1 $\alpha$ ,2 $\alpha$ ,7 $\alpha$ )]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)

L11 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 1993:254908 CAPLUS  
 DOCUMENT NUMBER: 118:254908  
 ORIGINAL REFERENCE NO.: 118:44301a,44304a  
 TITLE: Preparation of imidazopyridines as 5-HT3 antagonists  
 INVENTOR(S): Becker, Daniel P.; Flynn, Daniel L.; Moormann, Alan  
 Edward; Nosal, Roger; Villamil, Clara I.  
 PATENT ASSIGNEE(S): G.D. Searle and Co., USA  
 SOURCE: PCT Int. Appl., 106 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9215593	A1	19920917	WO 1992-US1524	19920304 <--
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, RU, SD, SE, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG				
US 5260303	A	19931109	US 1991-666113	19910307 <--
CA 2082414	A1	19920908	CA 1992-2082414	19920304 <--
AU 9215728	A	19921006	AU 1992-15728	19920304 <--
EP 530353	A1	19930310	EP 1992-908804	19920304 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL				
JP 06500124	T	19940106	JP 1992-508210	19920304 <--
EP 504679	A1	19920923	EP 1992-103862	19920306 <--
R: PT				
PRIORITY APPLN. INFO.:			US 1991-666113	A2 19910307
			WO 1992-US1524	A 19920304

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 118:254908

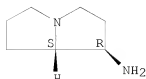
AB Title compds. ArCOYZ [I; Ar = Q1, etc.; R1 = H, C1-6 alkyl; R2 = H, halo; Y = NH, O; Z = Q2, etc.; with provisos] were prepared as 5-HT3 antagonists. Thus, imidazo[1,2-a]pyridine-8-carboxylic acid.HCl (preparation given) in CHCl3/DMF was treated with SOCl2, then 3-aminoquinuclidine.2HCl and Et3N to give title compound II.2HCl. The latter had IC50 of 70 nM against 5-HT3 binding in NG108-15 cells and gave 100% inhibition at 10 mg/kg i.p. in mice in a Bezold-Jarisch reflex assay.

IT 66393-06-4 145511-58-6  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, in preparation of 5-HT3 antagonists)

RN 66393-06-4 CAPLUS

CN 1H-Pyrrolizin-1-amine, hexahydro-, (1R,7aS)- (CA INDEX NAME)

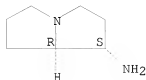
Absolute stereochemistry. Rotation (-).



RN 145511-58-6 CAPLUS

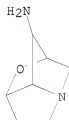
CN 1H-Pyrrolizin-1-amine, hexahydro-, (1R,7aS)-rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS  
RECORD (13 CITINGS)  
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 14 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN  
ACCESSION NUMBER: 1993:95700 CAPLUS  
DOCUMENT NUMBER: 118:95700  
ORIGINAL REFERENCE NO.: 118:16625a,16628a  
TITLE: Quantitative analyses of bovine urine and blood plasma  
for loline alkaloids  
AUTHOR(S): TePaske, Mark R.; Powell, Richard G.; Petroski,  
Richard J.; Samford, Melanie D.; Paterson, John A.  
CORPORATE SOURCE: Agric. Res. Serv., Natl. Cent. Agric. Util. Res.,  
Peoria, IL, 61604, USA  
SOURCE: Journal of Agricultural and Food Chemistry (1993),  
41(2), 231-4  
CODEN: JAFCAU; ISSN: 0021-8561  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB Capillary gas chromatog. methods for the routine anal. of the loline  
alkaloids in bovine blood plasma and urine were developed. Urine samples  
diluted with MeOH were suitable for direct GC anal. Plasma samples,  
following protein precipitation, were also suitable for direct GC anal.  
N-Methylloine was used as an internal standard for these analyses. Peak  
identities were verified by mass spectrometry and comparison to known  
stds. The methods should prove to be useful in toxicol. studies  
concerning the role of loline alkaloids in fescue toxicosis.  
IT 4839-19-4  
RL: ANT (Analyte); ANST (Analytical study)  
(anal. of, in feed, by capillary gas chromatog.)  
RN 4839-19-4 CAPLUS  
CN 2,4-Methano-4H-furo[3,2-b]pyrrol-3-amine, hexahydro-, (2R,3R,3aS,4S,6aS)-  
(9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
(3 CITINGS)

L11 ANSWER 15 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1992:247899 CAPLUS

DOCUMENT NUMBER: 116:247899

ORIGINAL REFERENCE NO.: 116:41799a,41802a

TITLE: SC-53116: the first selective agonist at the newly

identified serotonin 5-HT<sub>4</sub> receptor subtype  
AUTHOR(S): Flynn, Daniel L.; Zabrowski, Daniel L.; Becker, Daniel  
P.; Nosal, Roger; Villamil, Clara I.; Gullikson, Gary  
W.; Moummi, Chafiq; Yang, Dai C.

CORPORATE SOURCE: Gastrointest. Dis. Res. Dep., Searle Res. and Dev.,  
Skokie, IL, 60077, USA

SOURCE: Journal of Medicinal Chemistry (1992), 35(8), 1486-9

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 116:247899

AB Novel substituted pyrrolizidines are disclosed and their interactions with  
the newly identified serotonin 5-HT<sub>4</sub> receptor described. SC-53116 (I)  
exhibits potent activity as an agonist at the 5-HT<sub>4</sub> receptor (ED<sub>50</sub> = 23  
nM) similar to the potency of serotonin (ED<sub>50</sub> = 16 nM). Unlike previously  
reported compds., I is only weakly active as an antagonist at serotonin  
5-HT<sub>3</sub> receptors (K<sub>i</sub> = 152 nM). Moreover, I does not interact at 5-HT<sub>1</sub>,  
5-HT<sub>2</sub>, dopaminergic, or adrenergic receptors at concns. up to 10,000 nM.  
Structure-activity relations in this series are discussed.

IT 141197-03-7P 145511-58-6P

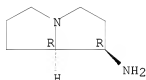
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(preparation and reaction with substituted benzoic acids)

RN 141197-03-7 CAPLUS

CN 1H-Pyrrolizin-1-amine, hexahydro-, (1R,7aR)-rel- (CA INDEX NAME)

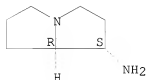
Relative stereochemistry.



RN 145511-58-6 CAPLUS

CN 1H-Pyrrolizin-1-amine, hexahydro-, (1R,7aS)-rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 46 THERE ARE 46 CAPLUS RECORDS THAT CITE THIS  
RECORD (46 CITINGS)

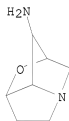
L11 ANSWER 16 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1991:487005 CAPLUS

DOCUMENT NUMBER: 115:87005

ORIGINAL REFERENCE NO.: 115:14839a,14842a

TITLE: Detection and identification of loline and its analogs in horse urine  
 AUTHOR(S): Takeda, Akira; Suzuki, Etsuko; Kamei, Katsutoshi; Nakata, Hisao  
 CORPORATE SOURCE: Lab. Racing Chem., Tokyo, 158, Japan  
 SOURCE: Chemical & Pharmaceutical Bulletin (1991), 39(4), 964-8  
 CODEN: CPBTAL; ISSN: 0009-2363  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Several kinds of loline-type alkaloids, norloline, loline, N-acetylnorloline, N-acetyllooline, N-formylnorloline, N-formyllooline, and N-methyllooline, were detected in the urine of race-horses. Furthermore, a new compound of the alkaloids, N-seneciolylnorloline, was also found and identified. These compds. were mainly identified by means of gas chromatog.-mass spectrometry and gas chromatog.-fourier transform-IR spectrometry. A certain plant of the Gramineae containing four kinds of loline-type alkaloids was found in a bale of hay used for the horse forage. The taxonomic feature of the plant was different from known plants containing loline-type alkaloids. The common fragmentation of loline-type alkaloids under electron ionization is briefly discussed.  
 IT 4839-19-4, Norloline  
 RL: BIOL (Biological study)  
 (in horse urine)  
 RN 4839-19-4 CAPLUS  
 CN 2,4-Methano-4H-furo[3,2-b]pyrrol-3-amine, hexahydro-, (2R,3R,3aS,4S,6aS)-(9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L11 ANSWER 17 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1990:52182 CAPLUS  
 DOCUMENT NUMBER: 112:52182  
 ORIGINAL REFERENCE NO.: 112:8897a,8900a  
 TITLE: Isolation, semi-synthesis, and NMR spectral studies of loline alkaloids  
 AUTHOR(S): Petroski, R. J.; Yates, S. G.; Weisleder, D.; Powell, R. G.  
 CORPORATE SOURCE: North. Reg. Res. Cent., Agric. Res. Serv., Peoria, IL, 61604, USA  
 SOURCE: Journal of Natural Products (1989), 52(4), 810-17  
 CODEN: JNPRDF; ISSN: 0163-3864  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB Loline, a saturated pyrrolizidine-type alkaloid, was isolated from tall fescue (*Festuca arundinacea*) seed infected with the endophytic fungus *Acremonium coenophialum*. Procedures are described for the efficient conversion of loline to derivs. also known to occur naturally: norloline,

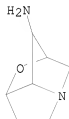
N-formylnorloline, N-acetylnorloline, N-methylololine, N-formylololine, and N-acetylololine. The loline alkaloids are of interest as they are suspected contributors to several disease syndromes in cattle that consume endophyte-infected tall fescue. The structure of hydroxychlorololine, a reaction product of loline with HCl, was determined, and complete <sup>1</sup>H- and <sup>13</sup>C-NMR assignments for all the lolines are reported.

IT 4839-19-4P, Norloline

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, from loline)

RN 4839-19-4 CAPLUS

CN 2,4-Methano-4H-furo[3,2-b]pyrrol-3-amine, hexahydro-, (2R,3R,3aS,4S,6aS)-(9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)

L11 ANSWER 18 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1990:50067 CAPLUS

DOCUMENT NUMBER: 112:50067

ORIGINAL REFERENCE NO.: 112:8493a,8496a

TITLE: Analysis of loline alkaloids in endophyte-infected tall fescue by capillary gas chromatography

AUTHOR(S): Yates, Shelly G.; Petroski, Richard J.; Powell, Richard G.

CORPORATE SOURCE: North. Reg. Res. Cent., ARS, Peoria, IL, 61604, USA  
SOURCE: Journal of Agricultural and Food Chemistry (1990), 38(1), 182-5

CODEN: JAFCAU; ISSN: 0021-8561

DOCUMENT TYPE: Journal

LANGUAGE: English

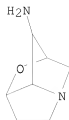
AB A capillary GC method for routine anal. of loline alkaloids (I, R1 = H, Me; R2 = H, Me, Ac, CHO) in tall fescue (*Festuca arundinacea*) seed and forage was developed. Filtered solvent exts. of seed, in CH2Cl2/MeOH/NH4OH (75:25:0.5), with phenylmorpholine as an internal standard were normally suitable for direct GC anal.; however, forage exts. required addnl. cleanup by ion exchange to remove interfering substances. Peak identities were confirmed by mass spectrometry and comparison to known stds. The method should be useful in studies concerning the relationships between I concentration in grasses, insect resistance, and performance problems in cattle.

IT 4839-19-4, Norloline

RL: PROC (Process)  
(GC of)

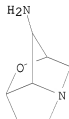
RN 4839-19-4 CAPLUS

CN 2,4-Methano-4H-furo[3,2-b]pyrrol-3-amine, hexahydro-, (2R,3R,3aS,4S,6aS)-(9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 19 THERE ARE 19 CAPLUS RECORDS THAT CITE THIS RECORD (19 CITINGS)

L11 ANSWER 19 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 1986:515258 CAPLUS  
 DOCUMENT NUMBER: 105:115258  
 ORIGINAL REFERENCE NO.: 105:18671a,18674a  
 TITLE: Synthesis of the lolium alkaloids  
 AUTHOR(S): Tufariello, Joseph J.; Meckler, Harold; Winzenberg, Kevin  
 CORPORATE SOURCE: Dep. Chem., State Univ. New York, Buffalo, NY, 14214, USA  
 SOURCE: Journal of Organic Chemistry (1986), 51(18), 3556-7  
 CODEN: JOCEAH; ISSN: 0022-3263  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 105:115258  
 AB Lolium (I, R = NHMe) and norlolium (I, R = NH2) were synthesized using a nitron-based approach leading to pyrrolizidine II. II could be epimerized and subsequently converted into chloropyrrolizidine III, which upon basic hydrolysis proceeds to the desired skeleton I (R = CH2OH). The methodol. of the Curtius rearrangement was then used to afford both lolium and norlolium.  
 IT 103531-60-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation of preparation of)  
 RN 103531-60-8 CAPLUS  
 CN 2,4-Methano-4H-furo[3,2-b]pyrrol-3-amine, hexahydro-, (2a,3a,3aβ,4a,6aβ)- (9CI) (CA INDEX NAME)



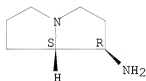
OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

L11 ANSWER 20 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 1978:406461 CAPLUS  
 DOCUMENT NUMBER: 89:6461  
 ORIGINAL REFERENCE NO.: 89:1111a,1114a  
 TITLE: Genus Crotalaria: part XXXI. Preparation of pharmacodynamic compounds based on 1-methylenepyrrolizidine



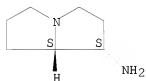
AUTHOR(S): Suri, K. A.; Suri, O. P.; Sawhney, R. S.; Gupta, O. P.; Atal, C. K.  
 CORPORATE SOURCE: Reg. Res. Lab., Jammu-Tawi, India  
 SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1977), 15B(10), 972-3  
 CODEN: IJSBDB; ISSN: 0376-4699  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB The methylenepyrrolizidine I (Z = CH<sub>2</sub>) underwent ozonolysis to give I (Z = O), the picrate of which underwent successive oximation, neutralization by ion exchange chromatog., and the reduction to give a cis-trans mixture of I (Z = H<sub>2</sub>N, H) (II). Condensation of II with BzOH in the presence of dicyclohexylcarbodiimide gave I (Z = BzNH, H). I (Z = HON) possessed cardiotonic activity in the guinea pig at 500 µg-2 mg. The quaternary ammonium salts from reaction of 4-PhC<sub>6</sub>H<sub>4</sub>COCH<sub>2</sub>Br with heliotridane and I (Z = CH<sub>2</sub>) possessed spasmolytic activity comparable to that of papaverine.  
 IT 66393-06-4P 66393-07-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and condensation reaction with benzoic acid)  
 RN 66393-06-4 CAPLUS  
 CN 1H-Pyrrolizin-1-amine, hexahydro-, (1R,7aS)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 66393-07-5 CAPLUS  
 CN 1H-Pyrrolizin-1-amine, hexahydro-, (1S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L11 ANSWER 21 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 1976:459556 CAPLUS  
 DOCUMENT NUMBER: 85:59556  
 ORIGINAL REFERENCE NO.: 85:9611a,9614a  
 TITLE: Study of alkaloids from Lolium cuneatum  
 AUTHOR(S): Batirov, E. Kh.; Khamidkhodzhaev, S. A.; Malikov, V. M.; Yunusov, S. Yu.  
 CORPORATE SOURCE: Inst. Khim. Rastit. Veshchestv, Tashkent, USSR  
 SOURCE: Khimiya Prirodnikh Soedinenii (1976), (1), 60-3  
 CODEN: KPSUAR; ISSN: 0023-1150  
 DOCUMENT TYPE: Journal

LANGUAGE: Russian

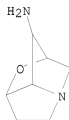
AB Two substances (N-methylololine and N-formylololine) in addition to the known alkaloids were isolated from *L. cuneatum*. Seeds were collected in the Tadzhikitskaya SSR during 1972 and introduced into the Tashkent district. From the Tadzhikitskaya collection, the  $\text{CHCl}_3$  extract gave a basic mixture (A) in an amount of 0.23%. From the 2nd Tashkent collection, the seeds gave 0.24% total alkaloids (B). Loline, norloline, loline, and bases I and II were separated from the total alkaloids of A. I was identified as N-methylololine (C9H16N2O); base II was identified as N-acetylnorloline. B yielded loline, loline, N-acetylnorloline, a base III, and N-formylololine.

IT 4839-19-4

RL: BIOL (Biological study)  
(from *Lolium cuneatum*)

RN 4839-19-4 CAPLUS

CN 2,4-Methano-4H-furo[3,2-b]pyrrol-3-amine, hexahydro-, (2R,3R,3aS,4S,6aS)-(9CI) (CA INDEX NAME)



IT 20321-58-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

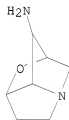
RN 20321-58-8 CAPLUS

CN Carbonic acid, compd. with [2R-(2 $\alpha$ ,3 $\alpha$ ,3 $\beta$ ,4 $\alpha$ ,6 $\alpha$ )]-hexahydro-2,4-methano-4H-furo[3,2-b]pyrrol-3-amine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 4839-19-4

CMF C7 H12 N2 O



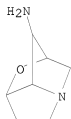
CM 2

CRN 463-79-6

CMF C H2 O3



L11 ANSWER 22 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 1974:460849 CAPLUS  
 DOCUMENT NUMBER: 81:60849  
 ORIGINAL REFERENCE NO.: 81:9691a,9694a  
 TITLE: Alkaloids of Papilionaceae. LV. Identification on N-depropionyldecorticasine and higher amides of decorticasine in Adenocarpus decorticans  
 AUTHOR(S): Landa-Velón, A.; Ribas-Marques, I.  
 CORPORATE SOURCE: Fac. Cienc., Patronato "Juan de la Cierva", Santiago de Compostela, Spain  
 SOURCE: Anales de Química (1968-1979) (1974), 70(4), 360-2  
 CODEN: ANQUBU; ISSN: 0365-4990  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Spanish  
 AB The following derivs. of decorticasine (I) were identified in A. decorticans: N-depropionyldecorticasine (II), and 3 amides of II(butyramide (III), isobutyramide (IV), and isovaleramide (V)). III, IV, and V were identical with products obtained by synthesis. The following methods were used: m.p., m.p. of picrate derivs., thin-layer chromatog., and ir spectra.  
 IT 4839-19-4  
 RL: BOC (Biological occurrence); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence)  
 (of Adenocarpus decorticans)  
 RN 4839-19-4 CAPLUS  
 CN 2,4-Methano-4H-furo[3,2-b]pyrrol-3-amine, hexahydro-, (2R,3R,3aS,4S,6aS)-(9CI) (CA INDEX NAME)



L11 ANSWER 23 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 1973:418920 CAPLUS  
 DOCUMENT NUMBER: 79:18920  
 ORIGINAL REFERENCE NO.: 79:3047a,3050a  
 TITLE: Alkaloids in Adenocarpus  
 AUTHOR(S): Landa Velón, Arsenio  
 CORPORATE SOURCE: Fac. Cienc., Univ. Santiago de Compostela, Santiago de Compostela, Spain  
 SOURCE: Acta Científica Compostelana (1971), 8(3-4), 171-6  
 CODEN: ACCCAW; ISSN: 0567-7378  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Spanish  
 AB N-Depropionyldecorticasine (I) and its butyramide, isobutyramide, and isovaleramide were isolated from Adenocarpus decorticans and their structure confirmed by synthesis. I is present in the plant and is not

merely a hydrolysis product of the amides. Cinnamic acid-14C was not incorporated into adenocarpine in feeding tests.

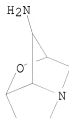
IT 4839-19-4P

RL: PREP (Preparation)

(isolation of, from Adenocarpus decorticans)

RN 4839-19-4 CAPLUS

CN 2,4-Methano-4H-furo[3,2-b]pyrrol-3-amine, hexahydro-, (2R,3R,3aS,4S,6aS)-(9CI) (CA INDEX NAME)



IT 42281-70-9P

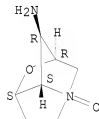
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 42281-70-9 CAPLUS

CN 2,4-Methano-4H-furo[3,2-b]pyrrol-3-amine, hexahydro-, 4-oxide, [2R-(2 $\alpha$ ,3 $\alpha$ ,3 $\beta$ ,6 $\alpha\beta$ )]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 24 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1972:434742 CAPLUS

DOCUMENT NUMBER: 77:34742

ORIGINAL REFERENCE NO.: 77:5795a,5798a

TITLE: Absolute configurations of pyrrolizidine alkaloids of the loline group

AUTHOR(S): Bates, R. B.; Morehead, S. R.

CORPORATE SOURCE: Dep. Chem., Univ. Arizona, Tucson, AZ, USA

SOURCE: Tetrahedron Letters (1972), (17), 1629-30

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Loline (I, R = Me, R1 = H), norloline (I, R = R1 = H), lolinine (I, R = Me, R1 = Ac), and decorticasine (I, R = H, R1 = EtCO), from 3 genera of the families Gramineae and Leguminosae, have the absolute configuration shown, as determined by x-ray crystallog.

IT 4839-19-4

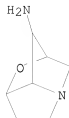
RL: PRP (Properties)

(absolute configuration of)

RN 4839-19-4 CAPLUS

CN 2,4-Methano-4H-furo[3,2-b]pyrrol-3-amine, hexahydro-, (2R,3R,3aS,4S,6aS)-

(9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

L11 ANSWER 25 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1969:78204 CAPLUS

DOCUMENT NUMBER: 70:78204

ORIGINAL REFERENCE NO.: 70:14609a,14612a

TITLE: Mass-spectrometric structural study of Lolium alkaloids

AUTHOR(S): Akramov, S. T.; Yunusov, S. Yu.

CORPORATE SOURCE: Inst. Khim. Rast. Veshchestv, Tashkent, USSR

SOURCE: Khimiya Prirodnikh Soedinenii (1968), 4(5), 298-304  
CODEN: KPSUAR; ISSN: 0023-1150

DOCUMENT TYPE: Journal

LANGUAGE: Russian

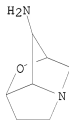
AB Mass-spectrometric data are given for loline (I, R = NHMe) and derivs. (R = H, OH, NH2, NMe-COMe, NNMe2, and NMeCOPh) and for dihydrodeoxyloline (II) and N-methyldihydrodeoxyloline (III). The fragmentation mechanism is discussed.

IT 4839-19-4

RL: PRP (Properties)  
(mass spectrum of)

RN 4839-19-4 CAPLUS

CN 2,4-Methano-4H-furo[3,2-b]pyrrol-3-amine, hexahydro-, (2R,3R,3aS,4S,6aS)-  
(9CI) (CA INDEX NAME)



L11 ANSWER 26 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1968:487265 CAPLUS

DOCUMENT NUMBER: 69:87265

ORIGINAL REFERENCE NO.: 69:16331a,16334a

TITLE: Identity of the alkaloid norloline with  
depropionyldecorticasine

AUTHOR(S): Ribas-Barcelo, M.; Ribas-Marques, I.

CORPORATE SOURCE: Univ. Santiago de Compostela, Santiago de Compostela,  
Spain

SOURCE: Anales de Quimica (1968-1979) (1968), 64(6), 637-9

CODEN: ANQUBU; ISSN: 0365-4990

DOCUMENT TYPE:

Journal

LANGUAGE:

Spanish

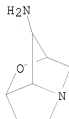
AB Depropionyldecorticasine (I) dihydrochloride (CA 54: 14289d) was shown to be identical with norloline dihydrochloride (CA 64: 5152e) and the structure confirmed.

IT 4839-19-4

RL: RCT (Reactant); RACT (Reactant or reagent)  
(depropionyldecorticasine in relation to)

RN 4839-19-4 CAPLUS

CN 2,4-Methano-4H-furo[3,2-b]pyrrol-3-amine, hexahydro-, (2R,3R,3aS,4S,6aS)-(9CI) (CA INDEX NAME)



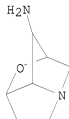
IT 20321-53-3P 20321-54-4P 20321-56-6P

20321-57-7P 20321-58-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 20321-53-3 CAPLUS

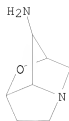
CN 2,4-Methano-4H-furo[3,2-b]pyrrol-3-amine, hexahydro-, dihydrochloride, [2R-(2 $\alpha$ ,3 $\alpha$ ,3 $\alpha\beta$ ,4 $\alpha$ ,6 $\alpha\beta$ )]- (9CI) (CA INDEX NAME)



●2 HCl

RN 20321-54-4 CAPLUS

CN 2,4-Methano-4H-furo[3,2-b]pyrrol-3-amine, hexahydro-, dihydrobromide, [2R-(2 $\alpha$ ,3 $\alpha$ ,3 $\alpha\beta$ ,4 $\alpha$ ,6 $\alpha\beta$ )]- (9CI) (CA INDEX NAME)



● 2 HBr

RN 20321-56-6 CAPLUS  
 CN 2,4-Methano-4H-furo[3,2-b]pyrrol-3-amine, hexahydro-,  
 [2R-(2α,3α,3aβ,4α,6aβ)]-, dinitrate (9CI) (CA  
 INDEX NAME)

CM 1

CRN 7697-37-2

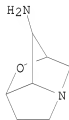
CMF H N O3



CM 2

CRN 4839-19-4

CMF C7 H12 N2 O

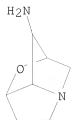


RN 20321-57-7 CAPLUS  
 CN 2,4-Methano-4H-furo[3,2-b]pyrrol-3-amine, hexahydro-,  
 [2R-(2α,3α,3aβ,4α,6aβ)]-, compd. with  
 2,4,6-trinitrophenol (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 4839-19-4

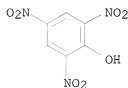
CMF C7 H12 N2 O



CM 2

CRN 88-89-1

CMF C6 H3 N3 O7



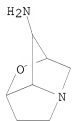
RN 20321-58-8 CAPLUS

CN Carbonic acid, compd. with [2R-(2a, 3a, 3aβ, 4a, 6aβ)]-hexahydro-2,4-methano-4H-furo[3,2-b]pyrrol-3-amine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 4839-19-4

CMF C7 H12 N2 O



CM 2

CRN 463-79-6

CMF C H2 O3



OS.CITING REF COUNT: 1

THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)



ACCESSION NUMBER: 1968:39878 CAPLUS

DOCUMENT NUMBER: 68:39878

ORIGINAL REFERENCE NO.: 68:7770h,7771a

TITLE: Papilinaceous alkaloids. XLV. Structure of decorticasine

AUTHOR(S): Ribas-Marques, I.; Pazo-Carracedo, M.

CORPORATE SOURCE: Fac. Cienc. Patronato "Juan de la Cierva", Santiago de Compostela, Spain

SOURCE: Anales de la Real Sociedad Espanola de Fisica y

Quimica, Serie B: Quimica (1967), 63(9-10), 915-26

CODEN: ARSQAL; ISSN: 0034-088X

DOCUMENT TYPE: Journal

LANGUAGE: Spanish

AB It was previously established (CA 54: 14289d) that N-depropionyldecorticasine (I) mol. had an O bridge and a NH<sub>2</sub> group. An attempt was made to eliminate both in order to identify the base C<sub>7</sub>H<sub>13</sub>N (II) which supports the tetracyclic skeleton of the alkaloid. The O bridge was opened and changed into a Cl and a OH group when 3.45 g. I.HCl was heated with 7 ml. 38% HCl 8 hrs. at 150°, to give 93% C<sub>7</sub>H<sub>13</sub>ClN<sub>2</sub>O.2HCl (III), m. 212-13° (MeOH); dipicrate m. 215-16° (EtOH), [α]<sub>D</sub><sup>20</sup> -14.7° (c 0.95, pyridine); free base m. 104-5°. I was regenerated by treating III with strong alkali. Catalytic hydrogenation of 0.2 g. III in 10 ml. absolute EtOH over 1 g. Raney Ni until 25.3 ml. H was absorbed, purification of the reaction product as a base, by treatment of its CHCl<sub>3</sub> solution with dry NH<sub>3</sub> 2 hrs., gave a picrate m. 214-15° (EtOH) of a compound to which the formula C<sub>7</sub>H<sub>14</sub>N<sub>2</sub>O (IV) was assigned. To a solution of 0.4 g. IV.HCl in 1 ml. 10% HCl, 0.2 g. NaNO<sub>2</sub> in 2 ml. H<sub>2</sub>O was added at 0°, the solution neutralized with NaHCO<sub>3</sub>, evaporated to dryness over H<sub>2</sub>SO<sub>4</sub>, the residue extracted with absolute EtOH, the solvent evaporated, and this repeated twice, to give with alc. picric acid, 0.2 g. of a picrate, m. 246-7° (EtOH), corresponding to a base C<sub>7</sub>H<sub>11</sub>N<sub>2</sub>O, whose ir spectrum showed the formation of a new O bridge. Due to this inconvenience an attempt was made to substitute the OH group of III with Cl, by treatment of III.-2HCl with a mixture of POCl<sub>3</sub> and PCl<sub>5</sub>, but only a poor yield of C<sub>7</sub>H<sub>12</sub>N<sub>2</sub>Cl<sub>2</sub>.2HCl, sublimes above 300° (MeOH), was obtained. In an alternate method a solution of 0.2 g. III in 3 ml. 10% HCl was treated with 0.1 g. NaNO<sub>2</sub> in 1.5 ml. H<sub>2</sub>O at 0°, the mixture alkalinized with aqueous NH<sub>3</sub>, extracted with CHCl<sub>3</sub>, extract dried, and evaporated to give 0.1 g. of a base, C<sub>7</sub>H<sub>12</sub>ClN<sub>2</sub>O (V), [perchlorate m. 188-9° (EtOH), picrate m. 208-9° (MeOH-Me<sub>2</sub>CO)] which showed a Cl and 2 OH groups in the mol. To a solution of 0.4 g. V in absolute EtOH a solution of dry HCl in EtOH was added. The solvent evaporated, 2 ml. SOCl<sub>2</sub> added, the mixture heated 2.5 hrs. on a water bath, and evaporated in vacuo, the residue treated with ice, the precipitate filtered off, the filtrate alkalinized with aqueous NH<sub>3</sub>, extracted 6 times with Et<sub>2</sub>O, and the ethereal

exts.

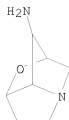
worked up, to give 0.27 g. of an oily base C<sub>7</sub>H<sub>10</sub>Cl<sub>3</sub>N (VI), picrate m. 194-5° (MeOH-Me<sub>2</sub>CO). A solution of 0.05 g. VI in 5 ml. EtOH was hydrogenated in the presence of 0.3 g. Raney Ni and 0.25 ml. NEt<sub>3</sub> 4 hrs.; work up of the mixture gave 0.05 g. II picrate, m. 255-6° (90% EtOH), which was identified as pyrrolizidine picrate by its ir spectrum and thin layer chromatog. over Silica gel G.

IT 4839-19-4P, Decorticasine, N-depropionyl-

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 4839-19-4 CAPLUS

CN 2,4-Methano-4H-furo[3,2-b]pyrrol-3-amine, hexahydro-, (2R,3R,3aS,4S,6aS)-(9CI) (CA INDEX NAME)



L11 ANSWER 28 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1966:27742 CAPLUS

DOCUMENT NUMBER: 64:27742

ORIGINAL REFERENCE NO.: 64:5152e-h,5153a-h

TITLE: Structure of norloline, loline, and loline

AUTHOR(S): Akramov, S. T.; Yunusov, S. Yu.

CORPORATE SOURCE: Inst. Chem. Plant Products, Tashkent

SOURCE: Khimiya Prirodnkh Soedinenii (1965), (4), 262-71

CODEN: KPSUAR; ISSN: 0023-1150

DOCUMENT TYPE: Journal

LANGUAGE: Russian

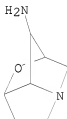
AB Formation of pyrrolizidine from loline established the basic heterocyclic nucleus of norloline and loline (CA 55, 19981f). In the present paper, data obtained during the investigation on the location of the 2nd valency of O and the N atom of the side chain are given in detail. Products of the Hofmann degradation of loline and dihydrodeoxyloline have been reinvestigated. Acetyl residue on the N in the side chain of dihydro-de-N-methylololine (I) was hydrolyzed with 20% HCl in a sealed ampul to give dihydro-de-N-methylololine (II). Oxidation of the latter with chromic acid (Percheron, et al., CA 52, 8848e) gave only one volatile acid, AcOH, as shown by paper chromatography. Formation of AcOH from II again proved that one valency of the O atom is linked to  $\beta$  carbon with relation to tertiary N atom of pyrrolizidine. Chromic acid oxidation of tetrahydrode-N-dimethylolinone (III) gave acetic and propionic acids. Formation of EtCO<sub>2</sub>H from III proved that in the second stage of Hofmann degradation the link was broken at C-3 and not at C-7 as the authors earlier erratically assumed. It moreover proves that C-2 and C-3 atoms of the loline mol. do not have any substituents. Position C-1 in the loline mol. has either one valency of O atom or the side N atom, because if C-1 had been free from substituents, oxidation of III should have given butyric acid along with propionic. Hydrolysis of III with 30% H<sub>2</sub>SO<sub>4</sub> gave dihydroxytetrahydrode-N-dimethylololine (IV) and tetrahydrode-N-dimethylololine (V). IV b<sub>2</sub>, 136-8°, [α]<sub>D</sub> 1.81° (MeOH) and V, b<sub>2</sub> 90°, [α]<sub>D</sub> 25 -21.79° (MeOH). IV is formed at the expense of the hydrolysis of ether linkage and the acetyl group on the side chain N atom, while V is simply formed by the hydrolysis of the acetyl group. V was methylated with HCHO + HCO<sub>2</sub>H by boiling for 10 hrs. on a water bath giving tetrahydrode-N-dimethyl-N-methylololine (VI), b<sub>2</sub> 93°, [α]<sub>D</sub> 16.4° (MeOH). VI forms a mono- (VII), m. 128-9°, and a dimethiodide (VIII), m. 194°. VII does not undergo Hofmann degradation, while VIII smoothly undergoes this degradation. The N atom of the side chain breaks away and from the products formed was isolated the methiodide of tetrahydrohemiloline (IX). IX m. 133° and is optically active, [α]<sub>D</sub> -26.07° (MeOH). Tetrahydrohemiloline (X) is also formed in small amts. X, liquid, b<sub>5</sub> 84-5°, [α]<sub>D</sub> 20 16.94° (EtOH). Chromic acid oxidation of X gave acetic and propionic acids which excludes the location of ether linkage and N atom on the same carbon C-1 of pyrrolizidine. If it was so, Hofmann

degradation of VIII would have given optically inactive IXa and X, or X which on oxidation would not have formed propionic acid. Rupture of N atom of the side-chain during the above degradation of VIII was established in another way also. Loline (XI) with EtI gives a crystalline ethiodide (XII), m. 117-18°, which on degradation gave de-N-ethyllooline (XIII). XIII on catalytic reduction over Pt absorbed a mol. of H and gave dihydro-de-N-ethyllooline (XIV) which with MeI gives a crystalline methiodide (XV), m. 218-19°. Further degradation of XV gave dihydrode-N-methylethyllooline (XVI). The latter, on catalytic hydrogenation gave tetrahydrode-N-methylethyllooline (XVII). Hydrolysis of the acetyl group of XVII with 20% H<sub>2</sub>SO<sub>4</sub> gave tetrahydrode-N-methylethyllooline (XVIII) which on methylation with HCHO + HCO<sub>2</sub>H gave tetrahydrode-N-methylethyl-N-methyllooline (XIX). XIX with MeI forms a methiodide (XX), which on Hofmann degradation gives Me<sub>3</sub>N in quant. amts. at the expense of the N atom of the side chain. Thus, the Hofmann degradation of XI can be briefly depicted as follows: XI + EtI → XII → XIII → XIV → XV → XVI → XVII → XVIII → XIX → XX → (XXI) + NMe<sub>3</sub>.HCl. IXa on hydrogenation at a Pt catalyst gave a mixture of products from which was isolated the methiodide of hexahydrohemiloline (XXII), m. 126°, [α]<sub>D</sub> 16° (acetone), and a N-free compound, α-methyl-α'-ethyltetrahydrofuran (XXIII), in negligible amts. as well as trimethylamine-MeI. Hofmann degradation of tetrahydrohemiloline-MeI and XXII with freshly precipitate AgOH gave MeOH, tetrahydrohemiloline (XXIV), and hexahydrohemiloline (XXV), b<sub>5</sub> 97-8°, resp. For elucidation of the position of the N atom of the side chain, dihydrodeoxyloline (XXVI) was acetylated with AcCl to give N-acetyldihydrodeoxyloline (XXVII), which on repeated Hofmann degradations, hydrogenations, and subsequent hydrolysis gave octahydrodeoxyhemiloline (XXVIII). Chromic acid oxidation of XXVIII formed a number of volatile acids. Acetic, propionic, butyric, and valeric acids were identified by paper chromatography. Formation of valeric acid from XXVIII and other properties, allow the assumption that the side chain N atom is situated on C-6 in XXVI. In this way, the alkaloid norloline (XXIX) is 6-amino-1,5-oxypyrrolizidine, loline (XXX), 6-amino-N-methyl-1,5-oxypyrrolizidine, and lolinine (XXXI), 6-amino-N-methylacetyl-1,5-oxypyrrolizidine and the structure of these three alkaloids is as given.

IT 4839-19-4, Norloline  
(structure of)

RN 4839-19-4 CAPLUS

CN 2,4-Methano-4H-furo[3,2-b]pyrrol-3-amine, hexahydro-, (2R,3R,3aS,4S,6aS)-(9CI) (CA INDEX NAME)



L11 ANSWER 29 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1961:106010 CAPLUS

DOCUMENT NUMBER: 55:106010

ORIGINAL REFERENCE NO.: 55:19981f-i,19982a

TITLE: Structure of norlolin, loline, and lolinine. IV

AUTHOR(S): Yunusov, S. Yu.; Akramov, S. T.  
 SOURCE: Zhurnal Obshchei Khimii (1960), 30, 3132-7  
 CODEN: ZOKHA4; ISSN: 0044-460X

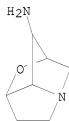
DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable

AB cf. CA 54, 24831c. Norlolin (Ia) had the suggested structure 2-aminotetrahydropyranomorpholinopyrrolizidine; lolin was 2-amino-N-methyltetrahydropyranomorpholinopyrrolizidine, and loline was 2-amino-N-methyl-N-acetyltetrahydropyranomorpholinopyrrolizidine. The finding of pyrrolizidine alkaloids in *Lolium cuneatum* was the 1st such occurrence among Gramineae plants. Loline methiodide treated with AgOH in MeOH gave 96.8% des-N-methyllooline (I), C<sub>11</sub>H<sub>18</sub>O<sub>2</sub>N<sub>2</sub>, m. 50-1°C, [α]<sub>D</sub>16D -109.36°; HCl salt decomposed at 206°C, [α]<sub>D</sub>27D -23.1°, HBr salt decomposed at 260-1°; nitrate decomposed at 190°, [α]<sub>D</sub>28D -28.6°; methiodide decomposed at 256-7°. The residual quaternary ammonium base left after the above degradation was treated with MeI in MeOH to yield loline methiodide, m. 136-7°. I heated 10 min. with concentrated HCl gave C<sub>8</sub>H<sub>13</sub>O<sub>2</sub>N.HCl, decomposed at 244-6°, which gave the free base, b<sub>5</sub> 93-4°, d<sub>20</sub> 1.1136, n<sub>D</sub>20 1.4910, [α]<sub>D</sub>18D -30.98°. Hydrogenation of I over Pt gave dihydro derivative of I, C<sub>11</sub>H<sub>20</sub>O<sub>2</sub>N<sub>2</sub>, m. 76°, b<sub>1</sub> 159°, d<sub>20</sub> 1.1233, n<sub>D</sub>20 1.5065, [α]<sub>D</sub>21D 75°; perchlorate m. 203-5°; methiodide m. 230-1°, [α]<sub>D</sub>14D 37.09°. The latter with AgOH gave 87% des-base, C<sub>12</sub>H<sub>22</sub>O<sub>2</sub>N<sub>2</sub>, b<sub>1</sub> 140-2°, 1.0266, 1.4869, [α]<sub>D</sub>25D 95.43°; perchlorate m. 164-5°, [α]<sub>D</sub>22D 89.81°. Hydrogenation of this base over Pt gave tetrahydrodes-N-methyllooline, b<sub>1</sub> 134-6°, 0.9919, 1.4748, [α]<sub>D</sub>16D 90.31°, which with CrO<sub>3</sub> in H<sub>2</sub>SO<sub>4</sub> oxidized to AcOH. Thus, norlolin had the structure Ia.

IT 4839-19-4, Norloline  
 (structure of)

RN 4839-19-4 CAPLUS

CN 2,4-Methano-4H-furo[3,2-b]pyrrol-3-amine, hexahydro-, (2R,3R,3aS,4S,6aS)-(9CI) (CA INDEX NAME)



L11 ANSWER 30 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1960:129258 CAPLUS

DOCUMENT NUMBER: 54:129258

ORIGINAL REFERENCE NO.: 54:24831c-e

TITLE: Alkaloids of *Lolium cuneatum*. II

AUTHOR(S): Yunusov, S. Yu.; Akramov, S. T.

SOURCE: Zhurnal Obshchei Khimii (1960), 30, 677-82  
 CODEN: ZOKHA4; ISSN: 0044-460X

DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable

AB cf. Doklady Akad. Nauk Uzbek. S.S.R. 3, 27(1954); CA 50, 10750d. Seeds of *L. cuneatum* yielded a 4th new alkaloid, norlolin, C<sub>7</sub>H<sub>12</sub>O<sub>2</sub>N<sub>2</sub>, b<sub>5</sub> 94-5°, [α]<sub>D</sub>16 15.1°; di-HBr salt decomposed at 306-8°, [α]<sub>D</sub>28 5.84°; carbonate m. 141°;

dinitrate m. 191-2°; di-HCl salt decomposed at 309-11°;  
 dipicrate decomposed at 226°; N,N-di-Ac derivative b2 190-5°  
 (picrate decomposed at 192-3°). Diazotizing norlorlin and keeping the  
 neutralized solution 1 day gave heminorlorlin, C7H102N, m. 192°,  
 [α]D21 8.09°; HCl salt m. 233-4°; HBr salt m.  
 189-90°; picrate m. 142-3°. Norlorlin heated with formalin  
 and HCO2H 3 hrs. gave dinorlorlinomethane, m. 197-8°; dipicrate m.  
 126-30°. Norlorlin gave an amorphous methiodide. Permanganate  
 oxidation converted lolin to norlorlin, while N-methylolin was oxidized to  
 lolin or norlorlin. Norlorlin contained a tertiary N group and a free NH2  
 group, which was diacetylated. Heminorlorlin was C7H10ON(OH).

IT 20321-57-7

(Derived from data in the 6th Collective Formula Index (1957-1961))

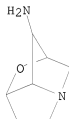
RN 20321-57-7 CAPLUS

CN 2,4-Methano-4H-furo[3,2-b]pyrrol-3-amine, hexahydro-,  
 [2R-(2α,3α,3β,4α,6α)]-, compd. with  
 2,4,6-trinitrophenol (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 4839-19-4

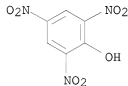
CMF C7 H12 N2 O



CM 2

CRN 88-89-1

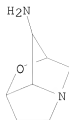
CMF C6 H3 N3 O7



IT 4839-19-4, Norlorline  
 (and derivs.)

RN 4839-19-4 CAPLUS

CN 2,4-Methano-4H-furo[3,2-b]pyrrol-3-amine, hexahydro-, (2R,3R,3aS,4S,6aS)-  
 (9CI) (CA INDEX NAME)



L11 ANSWER 31 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1960:129257 CAPLUS  
DOCUMENT NUMBER: 54:129257  
ORIGINAL REFERENCE NO.: 54:24830b-1,24831a-c  
TITLE: Constitution of rheadine  
AUTHOR(S): Santavy, F.; Maturova, M.; Nemeckova, A.; Horak, M.  
CORPORATE SOURCE: Palackeho Univ., Olomouc, Czech.  
SOURCE: Collection of Czechoslovak Chemical Communications (1960), 25, 1901-13  
CODEN: CCCCAK; ISSN: 0010-0765

DOCUMENT TYPE: Journal  
LANGUAGE: German

AB On the basis of expts. (e.g., Hofmann and Emde degradations), polarography, and ultraviolet and infrared spectroscopy (spectra with interpretation given) a structural formula was proposed for rheadine (I), C<sub>21</sub>H<sub>21</sub>NO<sub>6</sub>, m. 251-3°, [ $\alpha$ ]<sub>D</sub><sup>22</sup> 235 ± 2° (c 1.01, CHCl<sub>3</sub>), 174 ± 2° (c 0.688, C<sub>5</sub>H<sub>5</sub>N), and 237 ± 2° (c 0.69, AcOH); HCl salt m. 224-6° (MeOH), [ $\alpha$ ]<sub>D</sub><sup>22</sup> 214° (CHCl<sub>3</sub>); HBr salt m. 228-30° (MeOH), [ $\alpha$ ]<sub>D</sub><sup>22</sup> 210° (CHCl<sub>3</sub>); HI salt m. 228-30° (MeOH), [ $\alpha$ ]<sub>D</sub><sup>22</sup> 206° (CHCl<sub>3</sub>); methiodide (II) m. 215-17°, [ $\alpha$ ]<sub>D</sub><sup>22</sup> 186 ± 3° (c 0.419, H<sub>2</sub>O). Detns. of C-Me group, active H, and double bond were neg. in I. Keeping 1 g. I, and 30 ml. 1% aqueous HCl 48 hrs. under exclusion of light, decanting the mixture to remove purple needles [m. 315-20° (decomposition) (aqueous HCl)], heating the aqueous solution 15 min. on a steam bath, precipitating with aqueous NH<sub>3</sub>, and crystallizing gave rheagenine (III), m. 236-8° (MeOH), [ $\alpha$ ]<sub>D</sub><sup>22</sup> 134 ± 2° (c 0.96, C<sub>5</sub>H<sub>5</sub>N), 170 ± 2° (c 0.678, AcOH), 235 ± 5° (c 0.477, 0.1N HCl); HCl salt m. 205-7° (MeOH), [ $\alpha$ ]<sub>D</sub><sup>22</sup> 233° (CHCl<sub>3</sub>); HI salt m. 207-9°, [ $\alpha$ ]<sub>D</sub><sup>22</sup> 228° (CHCl<sub>3</sub>). Alkaline hydrolysis and acetylation expts. with I or III gave unchanged starting compds. Oxidation of I with aqueous KMnO<sub>4</sub> in aqueous NaOH (Spath, et al., CA 30, 59979) gave hydrastric (IV) and isohydrastric (V) acids, isolated as IV Me imide, m. 213-18° (Et<sub>2</sub>O-petr. ether), IV Et imide, m. 170-3° (MeOH), and V Et imide (VI), m. 123-5°. Oxidation of III with aqueous HNO<sub>3</sub> (Hope, et al., CA 25, 2149) gave hydrastrinine and a brown precipitate whose oxidation with aqueous KMnO<sub>4</sub> in aqueous NaOH yielded V, isolated as VI, m. 127-9°. Shaking 6 hrs. 2 g. II in 40 ml. MeOH with Ag<sub>2</sub>O (prepared from 2 g. AgNO<sub>3</sub>), filtering, evaporating the filtrate, and chromatographing the residue on Al<sub>2</sub>O<sub>3</sub> gave des-N-methylrheadine (VII), m. 156-8°, [ $\alpha$ ]<sub>D</sub><sup>22</sup> -27 ± 3° (c 1.28, CHCl<sub>3</sub>). Refluxing 12 hrs. 2 g. VII, 20 ml. anhydrous CHCl<sub>3</sub>, and 3 ml. MeI, and evaporating gave a residue, which was dissolved in MeOHMe<sub>2</sub>CO, the solution treated with an aqueous suspension of Ag<sub>2</sub>O (prepared from 2 g. AgNO<sub>3</sub>), shaken 4 hrs., filtered, the filtrate evaporated, the residue treated with 5 ml. (CH<sub>2</sub>OH)<sub>2</sub>, 0.2 g. NaOH, and 4 ml. H<sub>2</sub>O, and the mixture

slowly heated to 155° to yield Me3N [isolated as picrate, m. 214-16° (EtOH)], 0.6 g. desdesrheoadine (VIII), m. 144-6° (EtOAc),  $[\alpha]_D^{22} 17 \pm 2^\circ$  (c 1.02, CHCl3), and an unidentified compound, C20H16O6, m. 145-7° (CHCl3 or EtOAc),  $[\alpha]_D^{20} 13 \pm 3^\circ$  (c 1.06, CHCl3). Heating on a steam bath 30 min. 660 mg. VII and 20 ml. 0.2N HCl, washing with CHCl3, and precipitating with aqueous NH3 gave the corresponding demethylated compound, C21H21NO6, m. 170-1° (CHCl3 or EtOAc),  $[\alpha]_D^{22} -37 \pm 3^\circ$  (c 1.08, CHCl3). Heating on a steam bath 30 min. 0.3 g. VIII, 2 ml. AcOH, 1 ml. H2O, and 1 drop aqueous HCl, diluting the mixture with H2O, extracting with CHCl3, and evaporating gave an amorphous compound, probably demethylated VIII. Hydrogenation of VII in AcOH on prerduced PtO2 gave des-N-methyldihydrorheoadine (IX), m. 146-8° (EtOAc-petr. ether),  $[\alpha]_D^{26} -55 \pm 3^\circ$  (c 1.46, CHCl3). Hydrogenation (H-uptake 2.6 moles) of VIII on prerduced PtO2 and reduction of VII with Na in liquid NH3 gave amorphous products only. Treating IX successively with MeI and Ag2O, heating the filtrate in 10 min. to 150° with the addition of 1 pellet NaOH, extracting with Et2O, and evaporating gave Me3N and desdesdihydrorheoadine (X), m. 104-6° (Et2O-petr. ether),  $[\alpha]_D^{26} 60 \pm 2^\circ$  (c 1.160, CHCl3). Keeping 0.3 g. VII with MeI 5 days at room temperature, treating the VII methiodide obtained with AgCl in aqueous EtOH, filtering, treating the filtrate (containing VII methochloride) at 60° with 60 g. 3% Na-Hg in small portions (evolution of Me3N), heating the mixture 20 min. to a boil, extracting with Et2O, washing the extract with 1% aqueous H2SO4 and H2O, and evaporating gave VIII, m. 208-11° or 144-6° (EtOAc); both the crystals showed the same  $[\alpha]_D^{20} 17 \pm 2^\circ$  (c 0.62, CHCl3). Similarly, IX methochloride and Na-Hg gave Me3N and X, m. 135-7° or 104-6° (EtOAc-Et2O); both the crystals showed  $[\alpha]_D^{24} 58 \pm 3^\circ$  (c 0.438, CHCl3). Treating in 5 hrs. under ice-cooling 2 g. VII in 15 ml. Me2CO with aqueous KMnO4 gave a compound, C21H19NO7 (structure undetd.), m. 278-80° (EtOAc),  $[\alpha]_D^{22} 240 \pm 3^\circ$  (c 0.798, CHCl3).

IT 20321-57-7  
(Derived from data in the 6th Collective Formula Index (1957-1961))

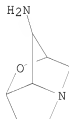
RN 20321-57-7 CAPLUS

CN 2,4-Methano-4H-furo[3,2-b]pyrrol-3-amine, hexahydro-, [2R-(2 $\alpha$ ,3 $\alpha$ ,3 $\beta$ ,4 $\alpha$ ,6 $\alpha$ )]-, compd. with 2,4,6-trinitrophenol (1:2) (9CI) (CA INDEX NAME)

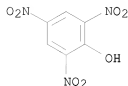
CM 1

CRN 4839-19-4

CMF C7 H12 N2 O



CRN 88-89-1  
CMF C6 H3 N3 O7



L11 ANSWER 32 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1960:74779 CAPLUS

DOCUMENT NUMBER: 54:74779

ORIGINAL REFERENCE NO.: 54:14289c-f

TITLE: Papilionaceae alkaloids. XXXIII. Alkaloids of  
Adeno-carpus decorticans. The chemistry of  
decorticasine

AUTHOR(S): de Lama, J. M. Alonso; Lopez-Blanco, A.; Ribas, I.

CORPORATE SOURCE: Univ. Santiago Compostela, Spain

SOURCE: Anales de la Real Sociedad Espanola de Fisica y  
Quimica, Serie B: Quimica (1959), 55B, 717-30  
CODEN: ARSQAL; ISSN: 0034-088X

DOCUMENT TYPE: Journal

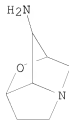
LANGUAGE: English

AB cf. CA 53, 20106e. Decorticasine (I), a viscous oil,  $[\alpha]_{18D}$   
26.1° (5.64%, EtOH), isolated from the leaves of A. decorticans by  
Ribas and Barreiro (CA 48, 3987i), was assigned the formula C<sub>10</sub>H<sub>16</sub>N<sub>2</sub>O<sub>2</sub>;  
picrate, yellow, m. 227° (Me<sub>2</sub>CO); hydriodide, m. 202°  
(Me<sub>2</sub>CO); nitrate m. 178-179° (MeOH-Me<sub>2</sub>CO); methiodide m.  
242° (absolute EtOH). Hydrolysis of I in 10% HCl for 3 hrs. yielded  
propionic acid and a base, N-depropionylcorticasine (II), C<sub>7</sub>H<sub>12</sub>N<sub>2</sub>O (CA 48,  
3987i); di-HCl salt m. 305-10° (MeOH); di-HBr salt, needles, m.  
306-308° (EtOH); mono-HI salt, m. 157° (MeOH); di-HI salt,  
sheets m. 290° (MeOH); dinitrate, m. 198-9° (EtOH);  
perchlorate, m. 166-7° (MeOH). II with EtOCOCl yielded synthetic  
decorticasine. The chemical properties of I are discussed to elucidate its  
structure. II treated with HNO<sub>2</sub> yielded a new base, deaminated  
N-depropionyldecorticasine (III), C<sub>7</sub>H<sub>11</sub>N<sub>2</sub>O<sub>2</sub>, m. 190-1° (Me<sub>2</sub>CO),  
 $[\alpha]_{21D}$  25.08° (3.11%, absolute EtOH); HI salt, needles, m.  
146-8° (Me<sub>2</sub>CO); methiodide, m. 204-5° (Me<sub>2</sub>CO); perchlorate,  
m. 196-8° (Me<sub>2</sub>CO); HCl salt, hygroscopic, m. 225-30° (absolute  
EtOH). Infrared absorption spectra were used in correlating structures  
between I, II, III. These and other expts. suggested possible structures.

IT 4839-19-4, Decorticasine, N-depropionyl-  
(and derivs.)

RN 4839-19-4 CAPLUS

CN 2,4-Methano-4H-furo[3,2-b]pyrrol-3-amine, hexahydro-, (2R,3R,4S,4S,6aS)-  
(9CI) (CA INDEX NAME)





L11 ANSWER 33 OF 33 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1960:56486 CAPLUS

DOCUMENT NUMBER: 54:56486

ORIGINAL REFERENCE NO.: 54:11028i,11029a-h

TITLE: Structure of norloline, loline, and loline

AUTHOR(S): Yunusov, S. Yu.; Akramov, S. T.

SOURCE: Doklady Akademii Nauk UzSSR (1959), (No. 4), 28-31

CODEN: DANUAO; ISSN: 0134-4307

DOCUMENT TYPE: Journal

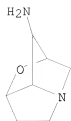
LANGUAGE: Unavailable

AB For the purpose of establishing the site of decomposition of the "bridge" ether-like O and side-chain N for norloline(I), loline(II), and loline(III), the Hofmann elimination was carried out, since the side N in III was acetylated and thus protected. By the action of Ag<sub>2</sub>O on the methiodide of III, de-N-methyl loline(IV), m. 50-1°, [α]<sub>D</sub><sup>16</sup> -109.39, was obtained; hydrochloride m. 200° (decomposition); nitrate m. 190° (decomposition), picrate m. 132-3°. The compound was unsatd. and had the formula C<sub>7</sub>H<sub>9</sub>(NMe)(NMeAc)(O). The quaternary ammonium base of III was obtained, together with the de-base, as a side product of the Hofmann elimination; the former compound did not liberate H<sub>2</sub>O at 120-30°C/2 mm. and did not evaporate. It was easily converted to the initial methiodide derivative of III by the action of MeI and KI. Possibly during the decomposition, the trans configuration of the quaternary ammonium base was formed, which was not capable of liberating H<sub>2</sub>O with the formation of the de-base. On treatment with HCl, IV liberated the NMeAc group with the formation of a saturated compound. This was attributed to the fact that one valency of the ether-like O was bound on one side with a C atom (in the β-position with respect to the tertiary N atom). With the formation of a double bond between C<sub>4</sub> and C<sub>5</sub> in IV, the bond of the ether-like O (with respect to acids) apparently became unstable, whereas II and III under these conditions remained unchanged. An excess of HCl resulted in a "hydroamine" decomposition. In the hydrogenation of II by the Adams method, 1 mole H was absorbed to yield dihydro-de-N-methyl loline (V), m. 76°, [α]<sub>D</sub><sup>21</sup> -75° (MeOH); hydrochloride m. 203-5°; methiodide m. 230-1°. The 2nd step of the Hofmann elimination of V with methiodide took place normally with the formation of dihydro-de-N,N-dimethyl loline (VI), b<sub>2</sub> 140-2°, [α]<sub>D</sub><sup>25</sup> 94.43 (MeOH), forming, with difficulty, a crystalline HCl salt, m. 164-5°. Catalytic hydrogenation of VI yielded tetrahydro-des-N,N-dimethyl loline (VII), b<sub>2</sub> 134-6°, [α]<sub>D</sub><sup>16</sup> 90.31 (H<sub>2</sub>O), forming an amorphous methiodide. It was impossible to carry out the Hofmann elimination of VII; this indicated that H was absent at the β-C with respect to N. Consequently, the 2nd valency of the ether-like O and the valency of the side N atom were bound to the β-C atom. Oxidation of VII with chromic acid yielded 2 AcOH mols. This indicated that in the 1st step the bond of N was ruptured at the C<sub>4</sub> atom and in the 2nd step at the C<sub>7</sub> atom (3 AcOH mols, would have been obtained if rupture had taken place at the C<sub>3</sub> atom). The 1st de-base of loline gave a qual. reaction for pyrrole. All products of the Hofmann elimination were optically active. The ether-like O was located at the C<sub>2</sub>-O-C<sub>5</sub> position and the side N atom at C<sub>2</sub> or C<sub>3</sub>, since both C atoms occupied identical positions with respect to the tertiary N atom. Since II was a mono-N-methyl derivative of I, the latter had the structure as given. The formula showed that I, II, and III were derivs. of pyrrolizidine on the one hand, and derivs. of morpholine-pyran on the other.

IT 4839-19-4, Norloline  
(structure of)

RN 4839-19-4 CAPLUS

CN 2,4-Methano-4H-furo[3,2-b]pyrrol-3-amine, hexahydro-, (2R,3R,3aS,4S,6aS)-(9CI) (CA INDEX NAME)



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L1 STRUCTURE UPLOADED  
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L3 5 S L2

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L4 STRUCTURE UPLOADED  
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L6 6 S L4

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L7 4 S L6

FILE 'CAPLUS' ENTERED AT 23:31:43 ON 03 AUG 2011

L8 46 S L5  
 L9 36 S L8 AND PY<2006  
 L10 34 S L9 AND PY<2005  
 L11 33 S L10 AND PY<2003

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